

Welcome to STN International! Enter x:x

LOGINID:sssptal611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEADLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26	PROMT: New display field available
NEWS	13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26	LITALERT now available on STN
NEWS	15	APR 27	NLDB: New search and display fields available
NEWS	16	May 10	PROUSDDR now available on STN
NEWS	17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12	EXTEND option available in structure searching
NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS EXPRESS			MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 07:56:03 ON 14 MAY 2004

=> file reg	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:56:29 ON 14 MAY 2004  
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provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAY 2004 HIGHEST RN 681425-81-0  
DICTIONARY FILE UPDATES: 12 MAY 2004 HIGHEST RN 681425-81-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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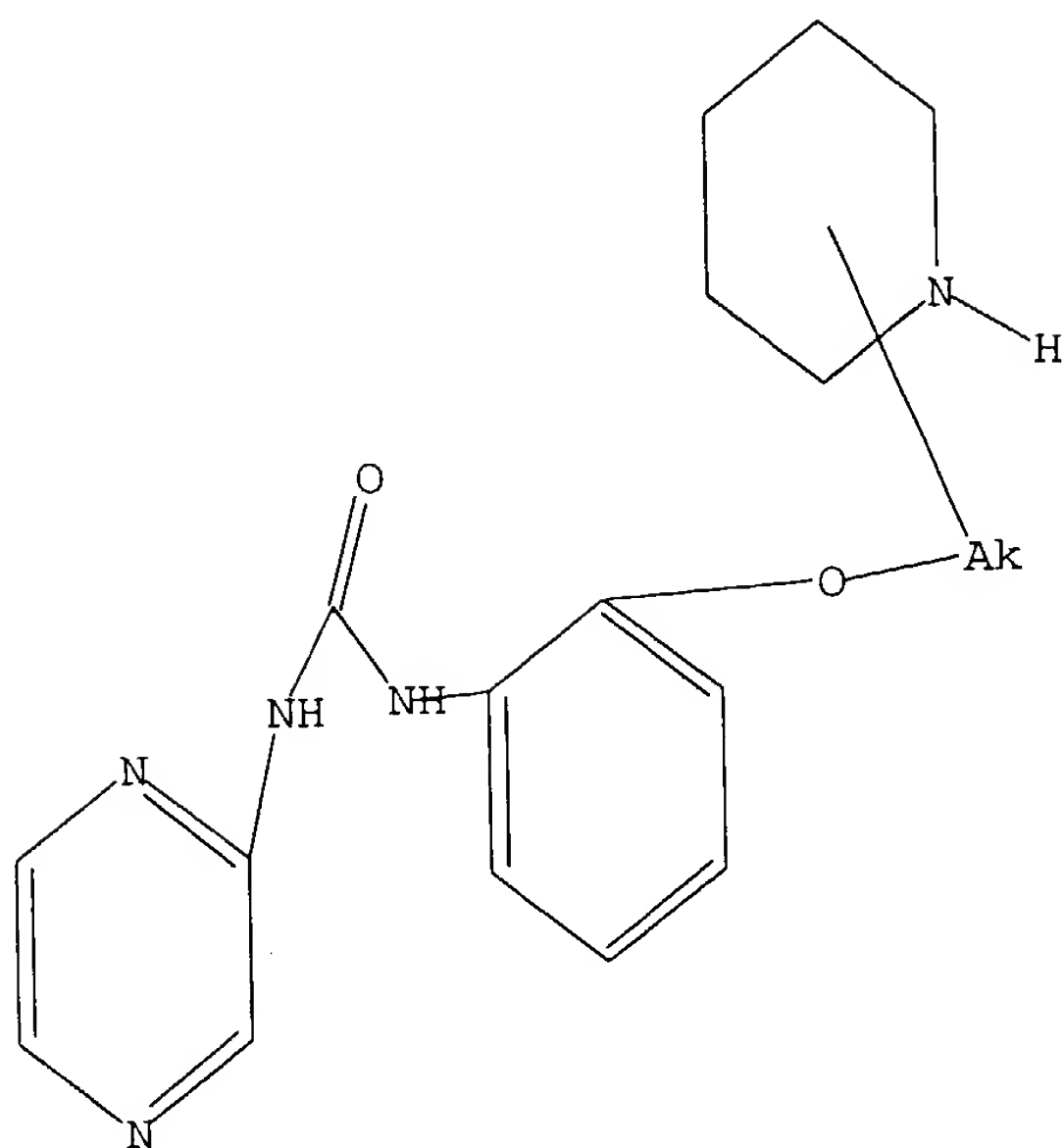
Uploading c:\program files\stnexp\queries\10087717.15

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 07:57:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 474 TO ITERATE
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100.0% PROCESSED      474 ITERATIONS
SEARCH TIME: 00.00.01
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2 ANSWERS

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L2          2 SEA SSS FUL L1
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COST IN U.S. DOLLARS
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SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST
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FILE 'CAPLUS' ENTERED AT 07:57:15 ON 14 MAY 2004
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FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21  
FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s 12

L3 1 L2

=> d 13 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:695962 CAPLUS

DN 137:232680

TI Preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use  
as radiosensitizers and chemosensitizers for treating diseases and  
conditions related to DNA damage or lesions in DNA replication

IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam  
Wade; Cowen, Scott Douglas; Burgess, Laurence Edward

PA Icos Corporation, USA

SO PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070494	A1	20020912	WO 2002-US6452	20020301
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2001-273124PP	20010302
	US 2003069284	A1	20030410	US 2002-87715	20020301
				US 2001-273124PP	20010302
	EP 1379510	A1	20040114	EP 2002-728396	20020301
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2001-273124PP	20010302
				WO 2002-US6452 W	20020301
	NO 2003003858	A	20031010	NO 2003-3858	20030901
				US 2001-273124PP	20010302
				WO 2002-US6452 W	20020301

OS MARPAT 137:232680

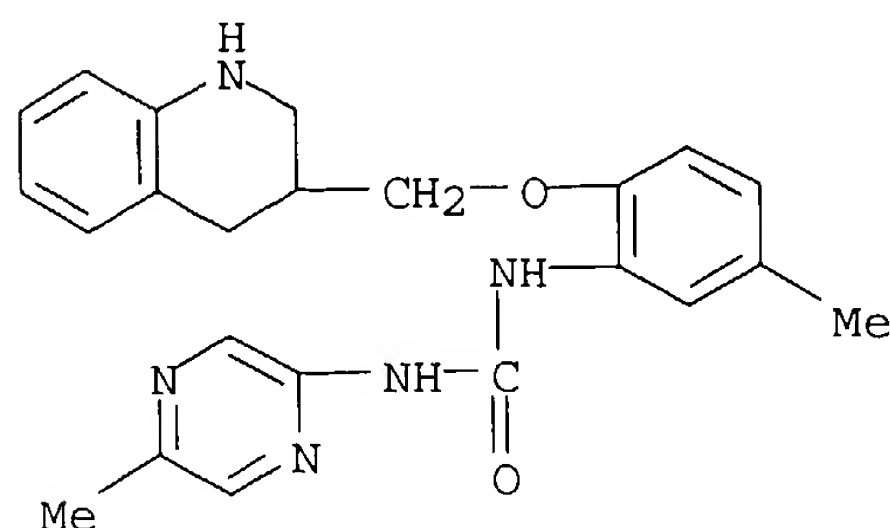
IT **457098-89-4P**, 1-(5-Methylpyrazin-2-yl)-3-[5-methyl-2-(1,2,3,4-tetrahydroquinolin-3-ylmethoxy)phenyl]urea **457099-03-5P**, 1-[5-Methyl-2-(piperidin-3-ylmethoxy)phenyl]-3-(5-methylpyrazin-2-yl)urea  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use  
as radiosensitizers and chemosensitizers for treating diseases and

conditions related to DNA damage or lesions in DNA replication)

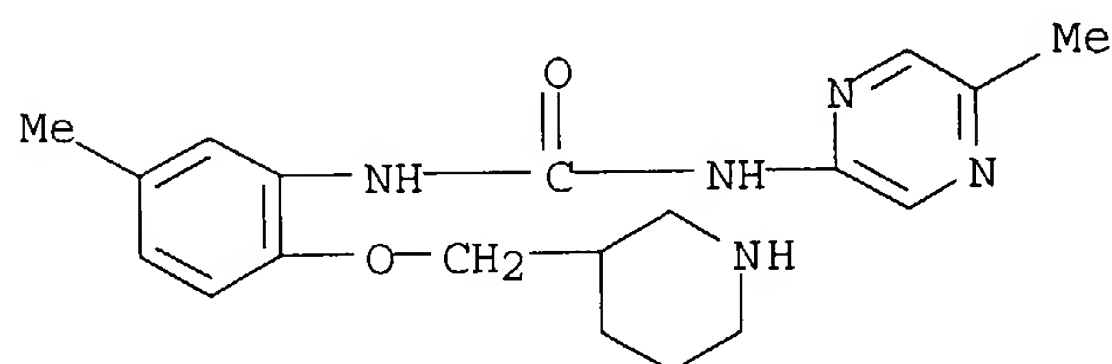
RN 457098-89-4 CAPLUS

CN Urea, N-(5-methylpyrazinyl)-N'-[5-methyl-2-[(1,2,3,4-tetrahydro-3-quinolinyl)methoxy]phenyl] - (9CI) (CA INDEX NAME)



RN 457099-03-5 CAPLUS

CN Urea, N-[5-methyl-2-(3-piperidinylmethoxy)phenyl]-N'-(5-methylpyrazinyl) - (9CI) (CA INDEX NAME)



AB Aryl- and heteroaryl substituted urea compds. (W'NHC(:Y')N(R13)Z'; 1) useful in the treatment of diseases and conditions related to DNA damage or lesions in DNA replication are disclosed. In 1, W' is a six-membered aromatic ring containing at least 2 nitrogen atoms (e.g. pyrazinyl, pyrimidinyl, pyridazinyl, 1,2,4-triazinyl, quinoxalinyl) and optionally substituted as defined in the claims, Z' is a five- or six membered aromatic or heteroarom. ring as defined in the claims, Y' is O or S. The first claim contains a much more general formula WX1C(:Y)X2Z (e.g. X1 = null, O, S, CH2, NR1; X2 = O, S, NR1) but emphasis is on 1. Methods of making the compds., and their use as therapeutic agents, for example, in treating cancer and other diseases characterized by defects in DNA replication, chromosome segregation, or cell division also are described. Although the methods of preparation are not claimed, about 200 example preps. are included. N-(2-methoxy-5-methylphenyl)-N'-(2-pyrazinyl)urea and N-(4-chloro-2-methoxyphenyl)-N'-(2-pyrazinyl)urea enhanced the killing of various human cells by 5-fluorouracil from 2- to 10-fold; in HeLa cells, these same compds. enhanced killing by irradiation 2-3 fold.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

5.19

160.82

Patel

<5/14/2004>

10087715.15

Page 6

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

TOTAL  
SESSION

CA SUBSCRIBER PRICE

-0.69

-0.69

STN INTERNATIONAL LOGOFF AT 07:57:53 ON 14 MAY 2004

Welcome to STN International! Enter x:x

LOGINID:sssptal611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

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NEWS	15	APR 27	NLDB: New search and display fields available
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NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
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NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 08:03:49 ON 14 MAY 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 08:04:00 ON 14 MAY 2004

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STRUCTURE FILE UPDATES: 12 MAY 2004 HIGHEST RN 681425-81-0

DICTIONARY FILE UPDATES: 12 MAY 2004 HIGHEST RN 681425-81-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

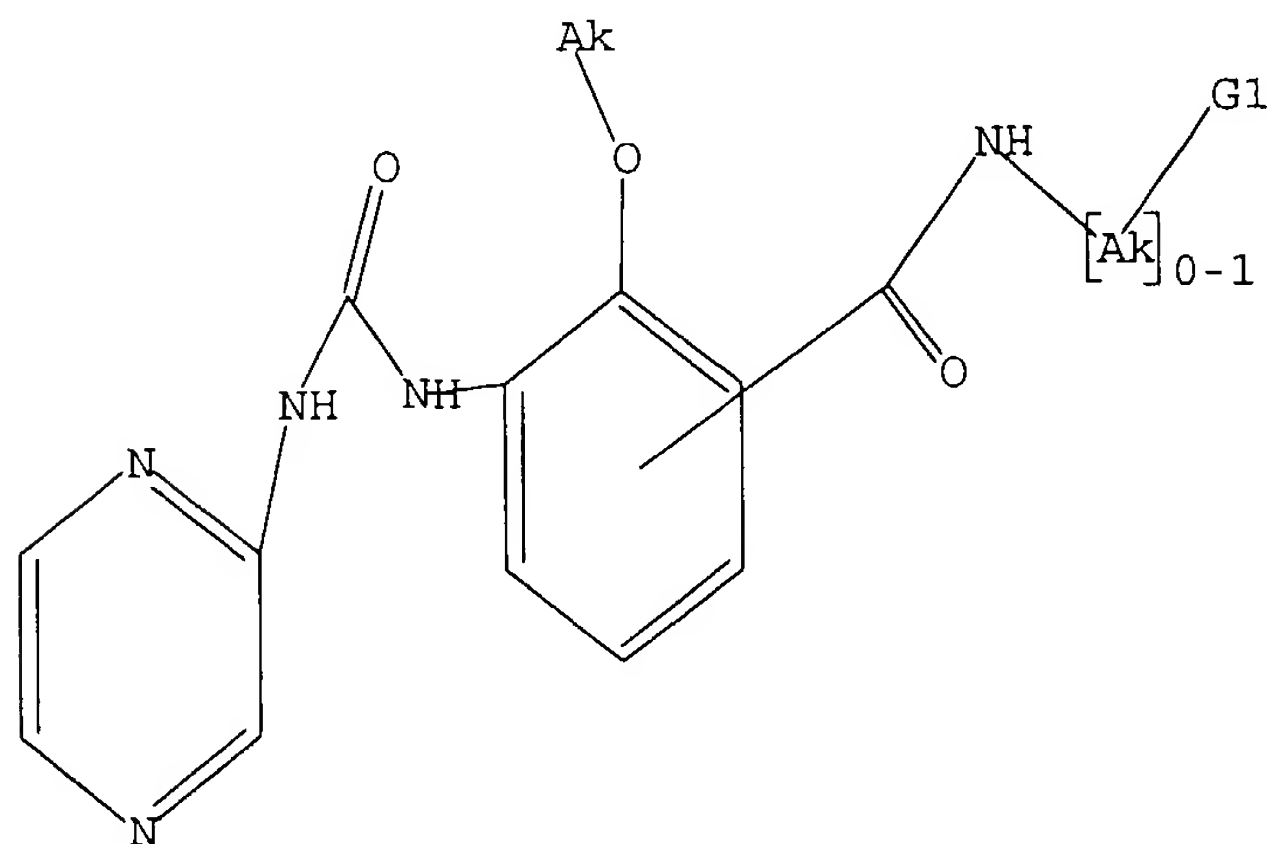
Uploading c:\program files\stnexp\queries\10087715.16

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 N, NH, NH2, Cb, Cy, Hy



Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full

FULL SEARCH INITIATED 08:04:26 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 118 TO ITERATE

100.0% PROCESSED 118 ITERATIONS  
SEARCH TIME: 00.00.01

70 ANSWERS

L2 70 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 08:04:34 ON 14 MAY 2004  
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FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21  
FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 1 L2

=> d 13 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:695962 CAPLUS

DN 137:232680

TI Preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use as radiosensitizers and chemosensitizers for treating diseases and conditions related to DNA damage or lesions in DNA replication

IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam Wade; Cowen, Scott Douglas; Burgess, Laurence Edward

PA Icos Corporation, USA

SO PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070494	A1	20020912	WO 2002-US6452	20020301
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2001-273124PP	20010302
	US 2003069284	A1	20030410	US 2002-87715	20020301
				US 2001-273124PP	20010302
	EP 1379510	A1	20040114	EP 2002-728396	20020301
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
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	NO 2003003858	A	20031010	NO 2003-3858	20030901
				US 2001-273124PP	20010302
				WO 2002-US6452 W	20020301
OS	MARPAT 137:232680				
IT	<b>457096-87-6P</b> , N-Benzyl-3-methoxy-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457096-89-8P</b> , 3-Methoxy-N-phenethyl-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457096-90-1P</b> , 3-Methoxy-N-(3-phenylpropyl)-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457096-95-6P</b> , N-(4-Iodobenzyl)-3-methoxy-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457096-97-8P</b> <b>457096-99-0P</b> , 3-Methoxy-4-(3-(pyrazin-2-yl)ureido)-N-(2-(pyridin-4-yl)ethyl)benzamide <b>457097-01-7P</b> , N-(1H-Benzimidazol-2-ylmethyl)-3-methoxy-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-04-0P</b> <b>457097-05-1P</b> , 3-Methoxy-N-[3-(methylphenylamino)propyl]-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-08-4P</b> <b>457097-10-8P</b> , N-((3R)-1-Benzylpyrrolidin-3-yl)-3-methoxy-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-13-1P</b> , N-((3S)-1-Benzylpyrrolidin-3-yl)-3-methoxy-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-18-6P</b> , 3-Methoxy-N-(3-methylaminopropyl)-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-21-1P</b> , N-(3-Dimethylaminopropyl)-3-methoxy-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-25-5P</b> , 3-Methoxy-N-(3-(morpholin-4-yl)propyl)-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-27-7P</b> , 3-Methoxy-N-[3-(4-methylpiperazin-1-yl)propyl]-4-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-29-9P</b> , [2-[3-Methoxy-4-(3-(pyrazin-2-yl)ureido)benzoylamino]ethyl]trimethylammonium chloride <b>457097-36-8P</b> , N-Benzyl-4-methoxy-3-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-37-9P</b> , 4-Methoxy-N-phenethyl-3-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-38-0P</b> , 4-Methoxy-N-(3-phenylpropyl)-3-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-40-4P</b> , 4-Methoxy-3-(3-(pyrazin-2-yl)ureido)-N-(2-(pyridin-2-yl)ethyl)benzamide <b>457097-41-5P</b> , 4-Methoxy-3-(3-(pyrazin-2-yl)ureido)-N-(2-(pyridin-4-yl)ethyl)benzamide <b>457097-42-6P</b> , N-(1H-Benzimidazol-2-ylmethyl)-4-methoxy-3-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-43-7P</b> , N-[2-(1H-Indol-3-yl)ethyl]-4-methoxy-3-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-44-8P</b> , 4-Methoxy-N-[3-(methylphenylamino)propyl]-3-(3-(pyrazin-2-yl)ureido)benzamide <b>457097-45-9P</b> <b>457097-47-1P</b> ,				

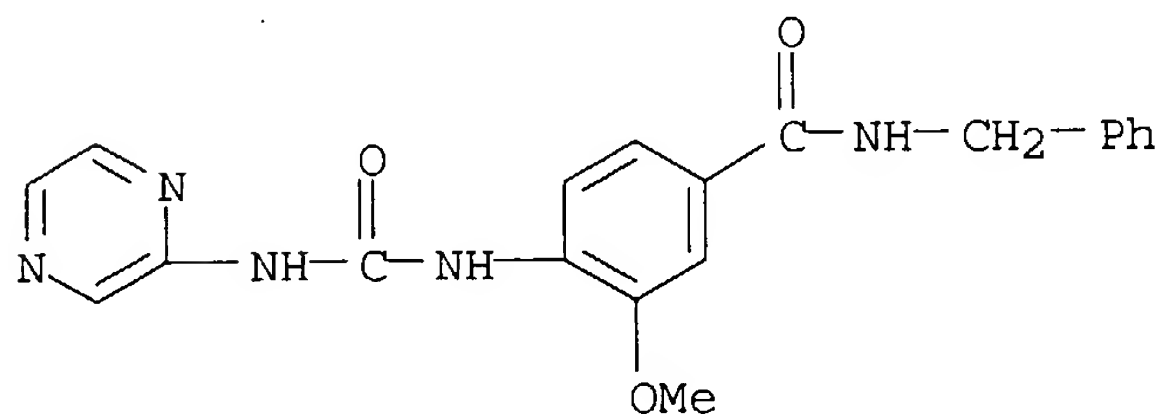
4-Methoxy-N-(3-methylaminopropyl)-3-(3-(pyrazin-2-yl)ureido)benzamide  
**457097-48-2P**, N-(3-Dimethylaminopropyl)-4-methoxy-3-(3-(pyrazin-2-yl)ureido)benzamide **457097-50-6P**, 4-Methoxy-N-[3-(4-methylpiperazin-1-yl)propyl]-3-(3-(pyrazin-2-yl)ureido)benzamide  
**457097-51-7P**, [2-[4-Methoxy-3-(3-(pyrazin-2-yl)ureido)benzoylamino]ethyl]trimethylammonium chloride  
**457097-52-8P**, 4-Methoxy-N-(3-(morpholin-4-yl)propyl)-3-(3-(pyrazin-2-yl)ureido)benzamide **457097-57-3P**, 3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-(2-(pyridin-2-yl)ethyl)benzamide  
**457097-59-5P**, N-(1-Benzylpiperidin-4-yl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-61-9P**,  
N-(3-Dimethylaminopropyl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-63-1P**, 3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-(3-(morpholin-4-yl)propyl)benzamide  
**457097-65-3P**, N-(2-(Dimethylamino)-2-phenylethyl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-68-6P**,  
N-(2-(Dimethylamino)-1-phenylethyl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-70-0P**, N-(1-Azabicyclo[2.2.2]oct-3-yl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide  
**457097-71-1P**, 3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3R)-1-(pyridin-2-yl)methylpyrrolidin-3-yl)benzamide **457097-74-4P**,  
3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3R)-1-methylpyrrolidin-3-yl)benzamide **457097-76-6P**, N-((3R)-1-Benzylpyrrolidin-3-yl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-77-7P**,  
3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3R)-1-[(pyridin-4-yl)methyl]pyrrolidin-3-yl)benzamide **457097-79-9P**,  
3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3S)-1-[(thiophen-2-yl)methyl]pyrrolidin-3-yl)benzamide **457097-81-3P**,  
N-((3R)-1-Cyclohexylmethylpyrrolidin-3-yl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-83-5P**, N-((3S)-1-Benzylpyrrolidin-3-yl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide  
**457097-84-6P**, 3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3S)-1-[(pyridin-2-yl)methyl]pyrrolidin-3-yl)benzamide **457097-86-8P**,  
3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3S)-1-[(pyridin-3-yl)methyl]pyrrolidin-3-yl)benzamide **457097-87-9P**,  
3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3S)-1-[(pyridin-4-yl)methyl]pyrrolidin-3-yl)benzamide **457097-90-4P**,  
N-((3S)-1-Cyclohexylmethylpyrrolidin-3-yl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-92-6P**, N-((3S)-1-Benzylpyrrolidin-3-yl)-4-[3-(5-methylpyrazin-2-yl)ureido]-3-trifluoromethoxybenzamide  
**457097-97-1P**, N-(1-Benzylpiperidin-4-ylmethyl)-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457097-98-2P**,  
N-[(3S)-1-(4-Fluorobenzyl)pyrrolidin-3-yl]-3-methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457098-04-3P**, N-((3S)-1-Benzylpyrrolidin-3-yl)-3-methoxy-4-[3-(5-trifluoromethylpyrazin-2-yl)ureido]benzamide  
**457098-08-7P**, 5-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-(2-(pyridin-2-yl)ethyl)-2-trifluoromethylbenzamide **457098-23-6P**,  
N-Benzyl-3-(3-dimethylaminopropoxy)-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457098-25-8P**, 3-(3-Dimethylaminopropoxy)-4-[3-(5-methylpyrazin-2-yl)ureido]-N-(2-(morpholin-4-yl)ethyl)benzamide  
**457098-26-9P**, 3-(3-Dimethylaminopropoxy)-4-[3-(5-methylpyrazin-2-yl)ureido]-N-[2-(1-methylpyrrolidin-2-yl)ethyl]benzamide  
**457098-27-0P**, N-(2-Dimethylaminoethyl)-3-(3-dimethylaminopropoxy)-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457098-28-1P**,  
N-((3S)-1-Benzylpyrrolidin-3-yl)-3-(3-dimethylaminopropoxy)-4-[3-(5-methylpyrazin-2-yl)ureido]benzamide **457098-32-7P**,  
N-Benzyl-4-[3-(5-methylpyrazin-2-yl)ureido]-3-(pyridin-3-ylmethoxy)benzamide **457098-34-9P**, 4-[3-(5-Methylpyrazin-2-

yl)ureido]-N-(2-(morpholin-4-yl)ethyl)-3-(pyridin-3-ylmethoxy)benzamide  
**457098-35-0P**, 4-[3-(5-Methylpyrazin-2-yl)ureido]-N-[2-(1-methylpyrrolidin-2-yl)ethyl]-3-(pyridin-3-ylmethoxy)benzamide  
**457098-36-1P**, N-(2-Dimethylaminoethyl)-4-[3-(5-methylpyrazin-2-yl)ureido]-3-(pyridin-3-ylmethoxy)benzamide **457098-37-2P**  
**457099-93-3P**, N-(2-Methoxy-3-((2-(4-morpholinyl)ethyl)carbamoyl)phenyl)-N'-(2-pyrazinyl)urea **457099-94-4P**, N-(2-Methoxy-3-((2-(1-methylpyrrolidin-2-yl)ethyl)carbamoyl)phenyl)-N'-(2-pyrazinyl)urea  
**457099-96-6P**, N-(2-Methoxy-4-((2-(4-morpholinyl)ethyl)carbamoyl)phenyl)-N'-(2-pyrazinyl)urea **457099-97-7P**, N-(2-Methoxy-4-((2-(1-methylpyrrolidin-2-yl)ethyl)carbamoyl)phenyl)-N'-(2-pyrazinyl)urea  
**457099-98-8P**, N-(2-Methoxy-4-((2-((methylsulfonyl)amino)ethyl)carbamoyl)phenyl)-N'-(2-pyrazinyl)urea **458523-51-8P**,  
 3-Methoxy-4-[3-(5-methylpyrazin-2-yl)ureido]-N-((3R)-1-[(thiophen-2-yl)methyl]pyrrolidin-3-yl)benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use as radiosensitizers and chemosensitizers for treating diseases and conditions related to DNA damage or lesions in DNA replication)

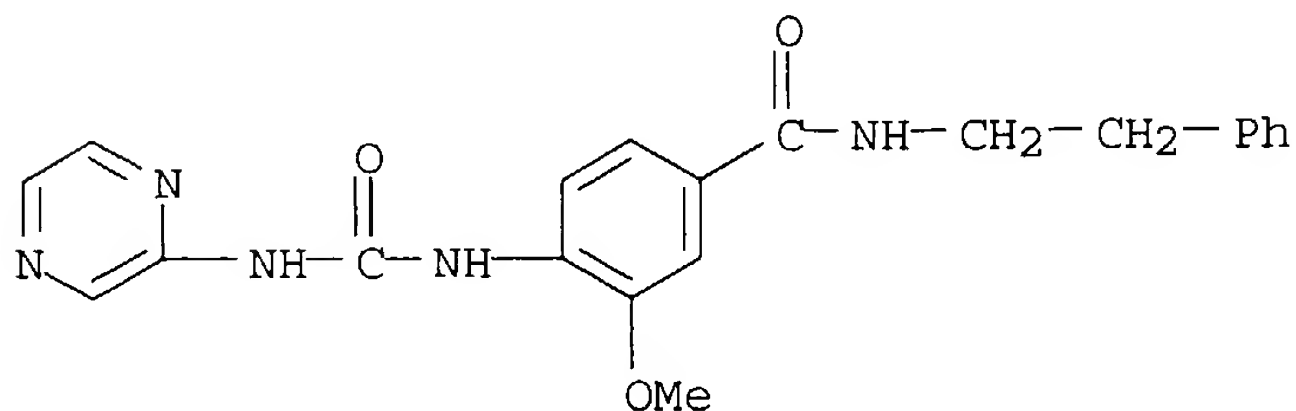
RN 457096-87-6 CAPLUS

CN Benzamide, 3-methoxy-N-(phenylmethyl)-4-[[pyrazinylamino]carbonyl]amino]-(9CI) (CA INDEX NAME)



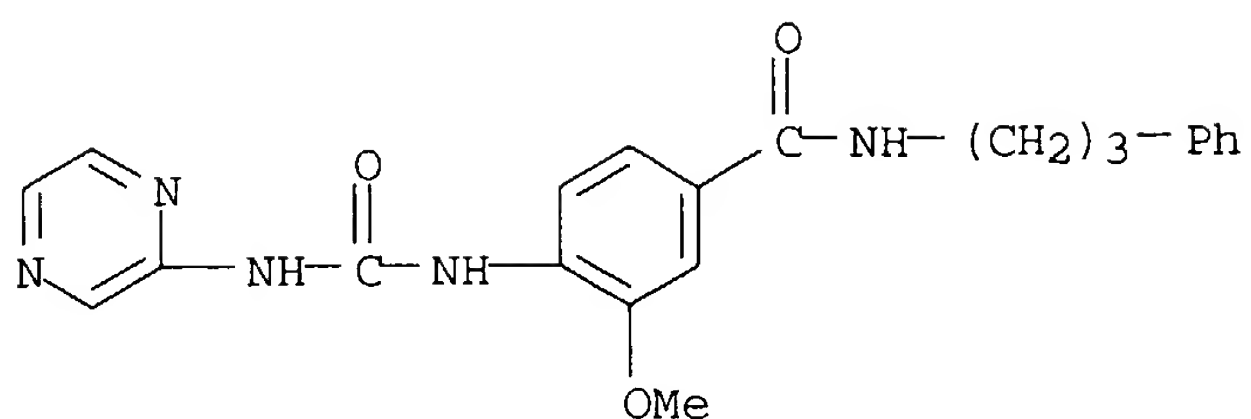
RN 457096-89-8 CAPLUS

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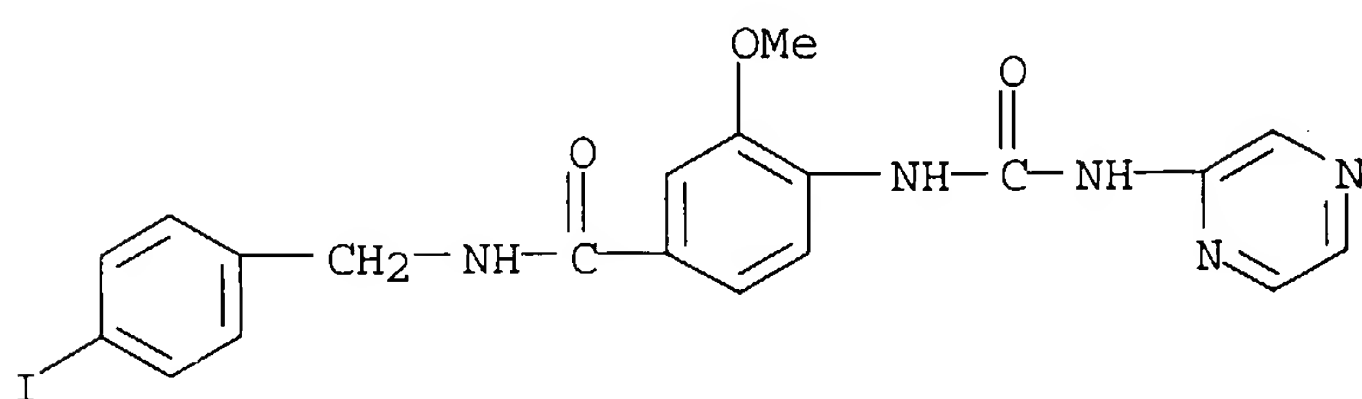


RN 457096-90-1 CAPLUS

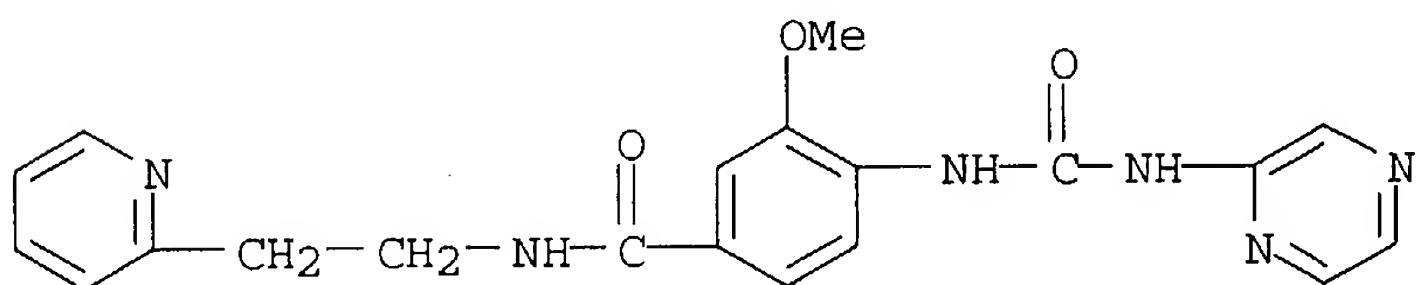
CN Benzamide, 3-methoxy-N-(3-phenylpropyl)-4-[[pyrazinylamino]carbonyl]amino]-(9CI) (CA INDEX NAME)



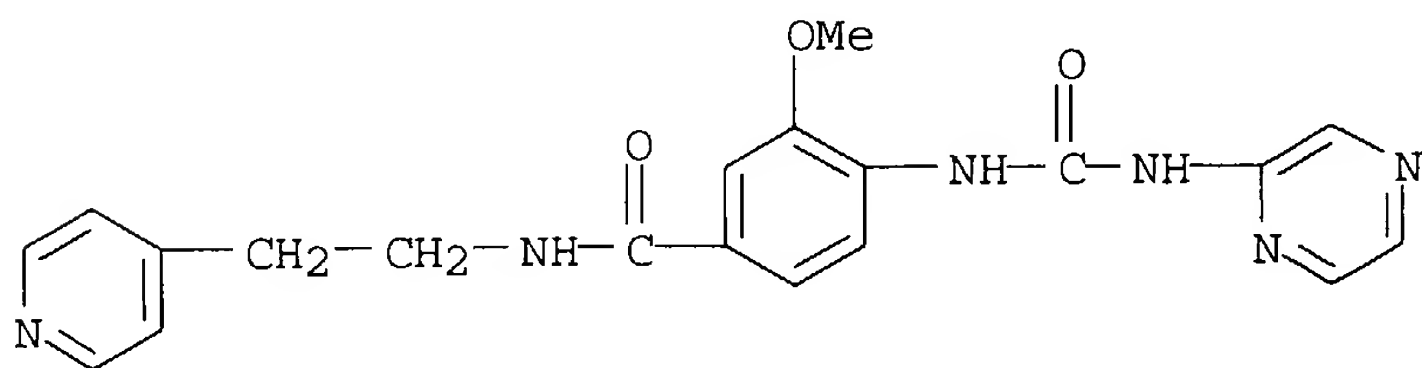
RN 457096-95-6 CAPLUS

CN Benzamide, N-[(4-iodophenyl)methyl]-3-methoxy-4-  
[[pyrazinylamino]carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 457096-97-8 CAPLUS

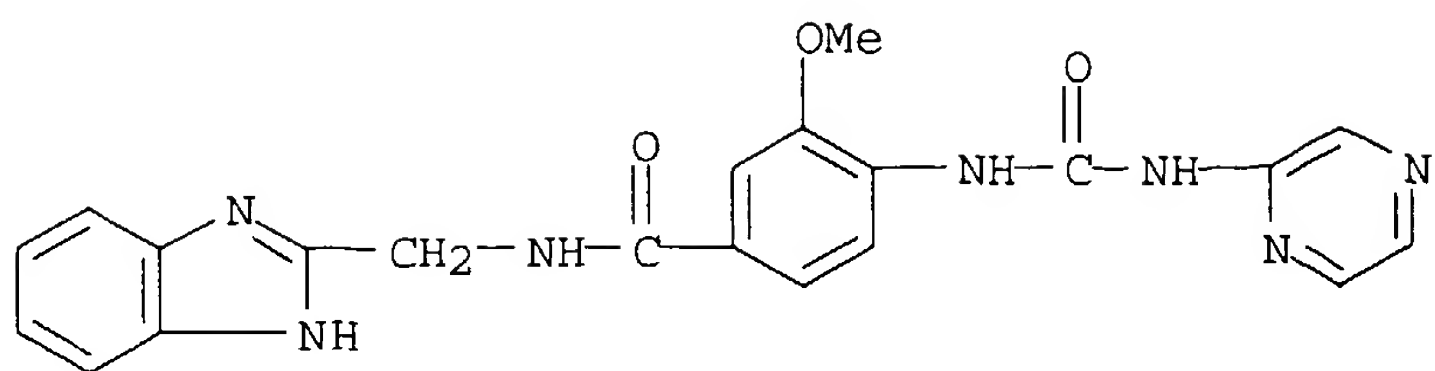
CN Benzamide, 3-methoxy-4-[[pyrazinylamino]carbonyl]amino]-N-[2-(2-  
pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 457096-99-0 CAPLUS

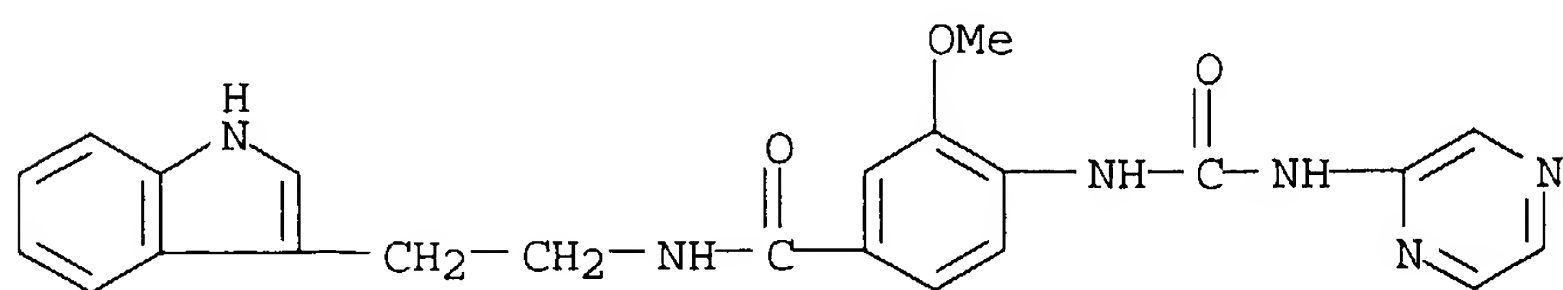
CN Benzamide, 3-methoxy-4-[[pyrazinylamino]carbonyl]amino]-N-[2-(4-  
pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 457097-01-7 CAPLUS

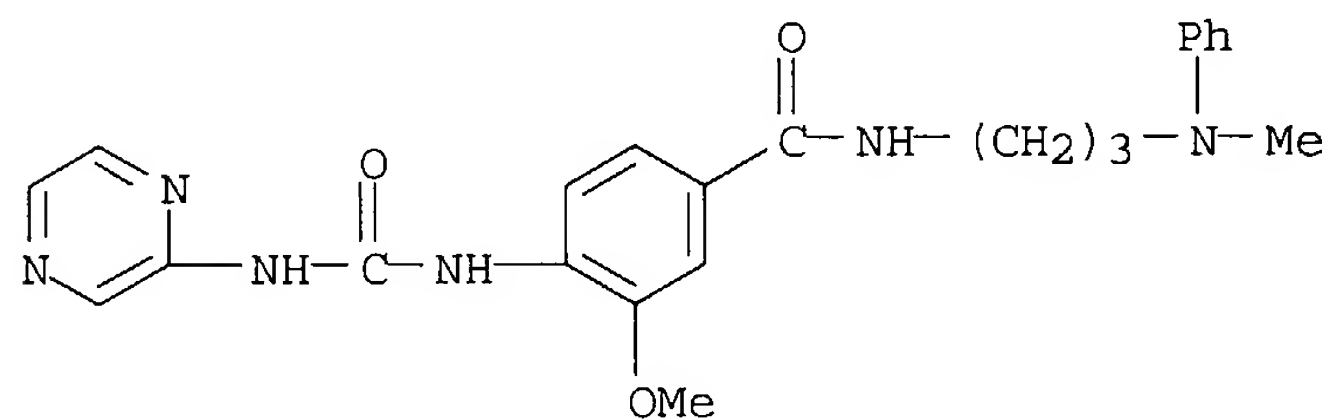
CN Benzamide, N-(1H-benzimidazol-2-ylmethyl)-3-methoxy-4-  
[[pyrazinylamino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 457097-04-0 CAPLUS

CN Benzamide, N-[2-(1H-indol-3-yl)ethyl]-3-methoxy-4-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

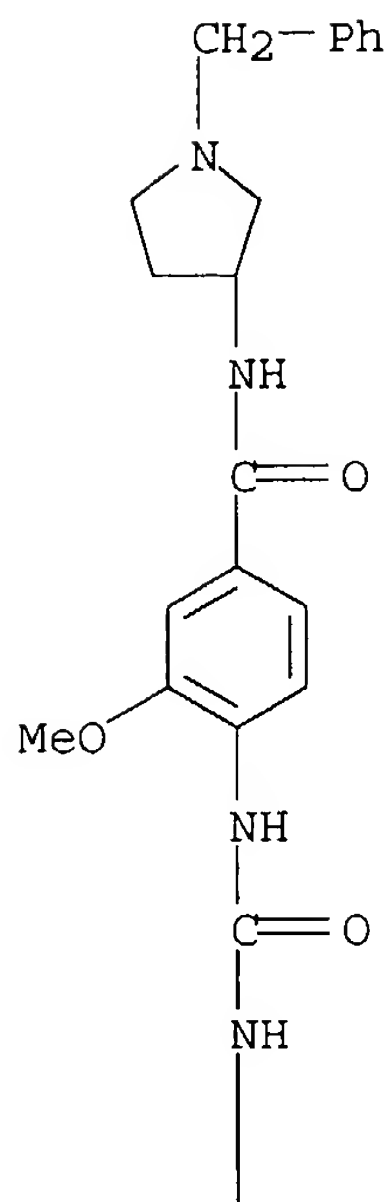
RN 457097-05-1 CAPLUS

CN Benzamide, 3-methoxy-N-[3-(methylphenylamino)propyl]-4-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

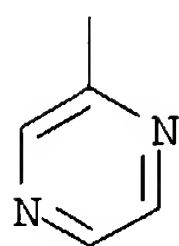
RN 457097-08-4 CAPLUS

CN Benzamide, 3-methoxy-N-[1-(phenylmethyl)-3-pyrrolidinyl]-4-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

PAGE 1-A



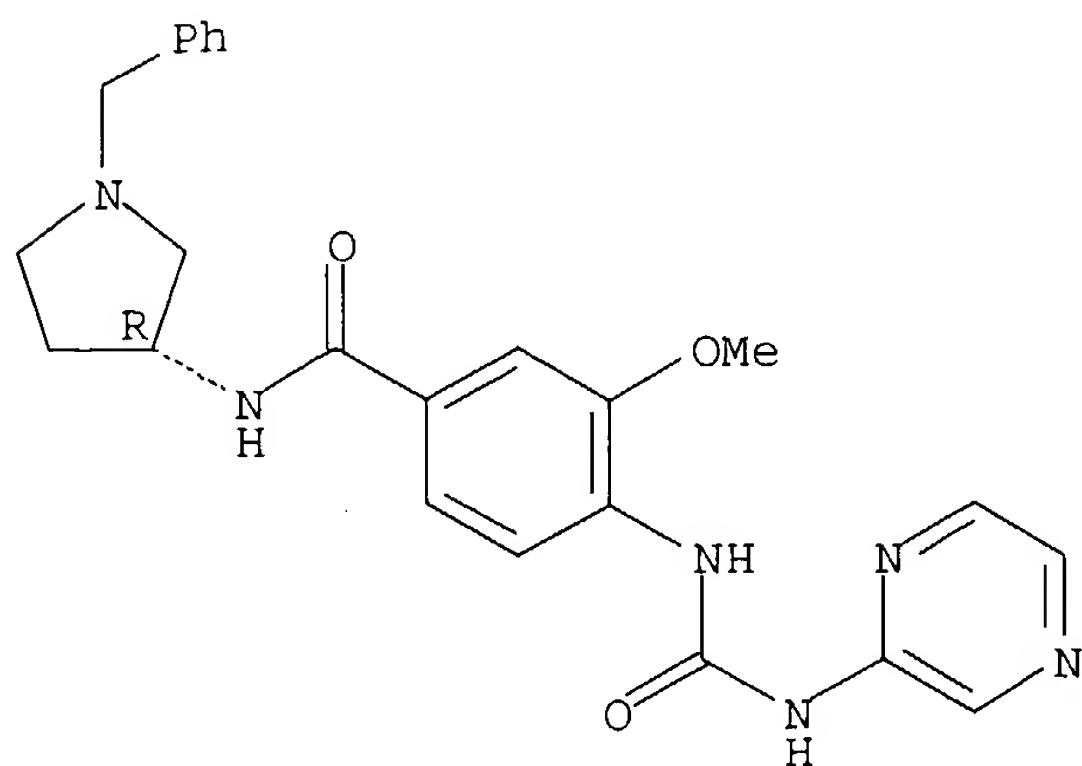
PAGE 2-A



RN 457097-10-8 CAPLUS

CN Benzamide, 3-methoxy-N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]-4-  
 [[pyrazinylamino)carbonyl]amino] - (9CI) (CA INDEX NAME)

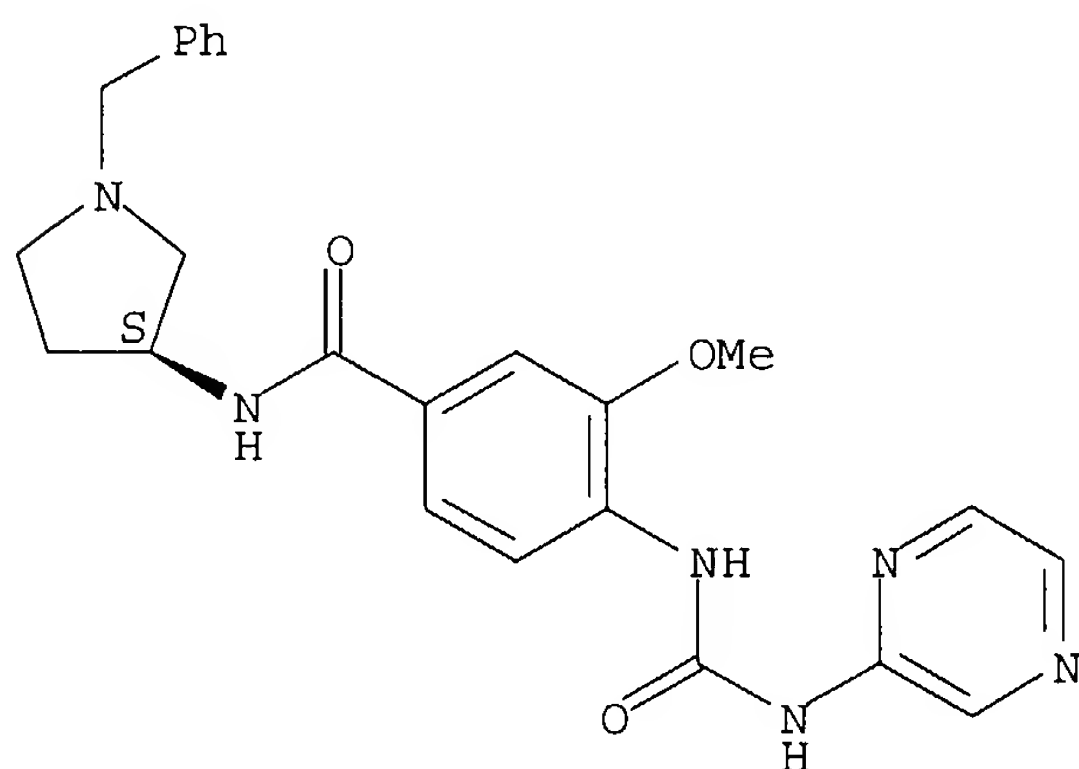
Absolute stereochemistry.



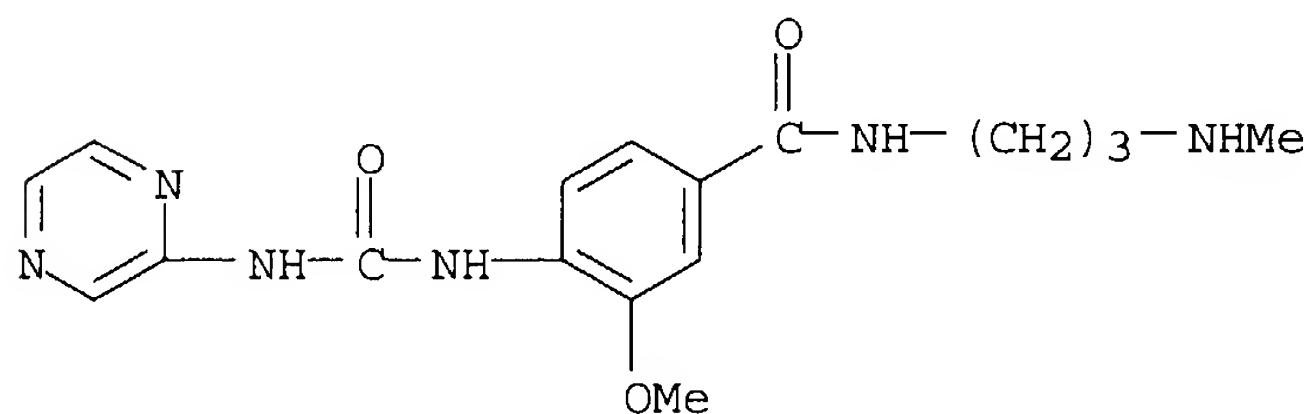
RN 457097-13-1 CAPLUS

CN Benzamide, 3-methoxy-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]-4-  
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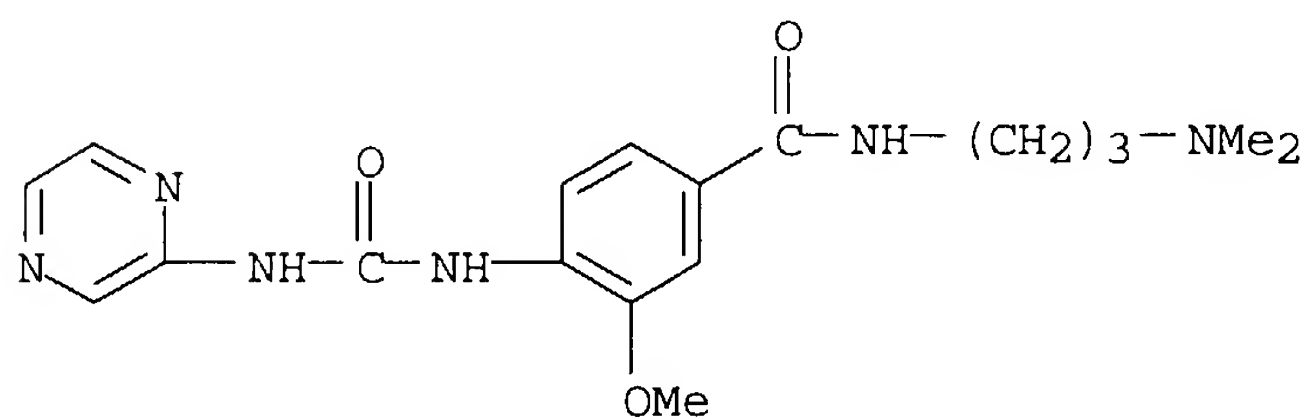
Absolute stereochemistry.



RN 457097-18-6 CAPLUS

CN Benzamide, 3-methoxy-N-[3-(methylamino)propyl]-4-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

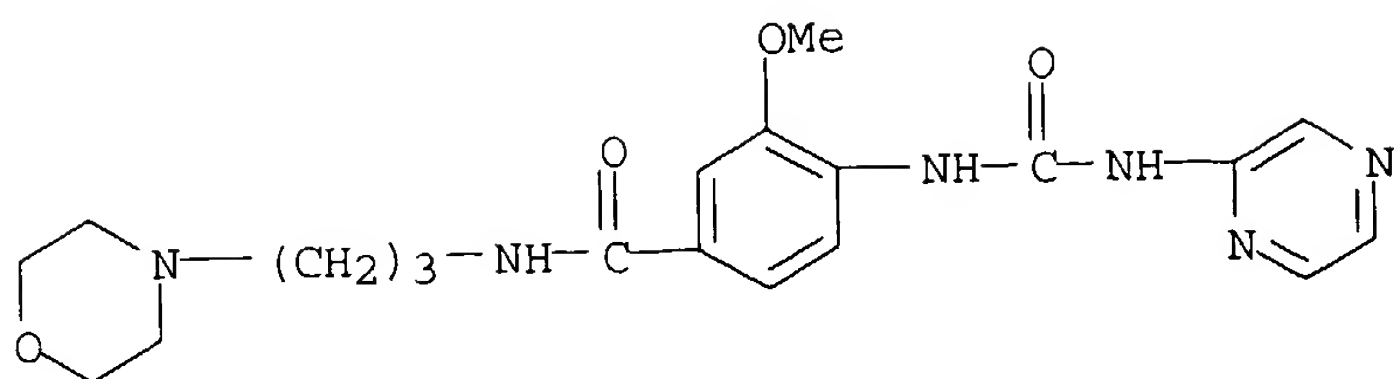
RN 457097-21-1 CAPLUS

CN Benzamide, N-[3-(dimethylamino)propyl]-3-methoxy-4-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

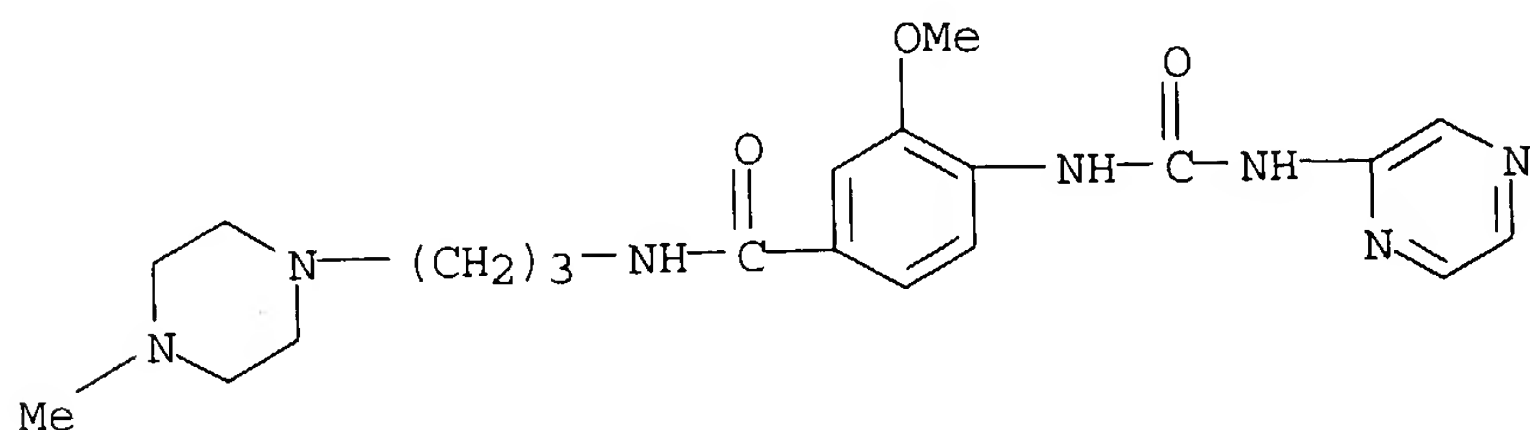
RN 457097-25-5 CAPLUS

CN Benzamide, 3-methoxy-N-[3-(4-morpholinyl)propyl]-4-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

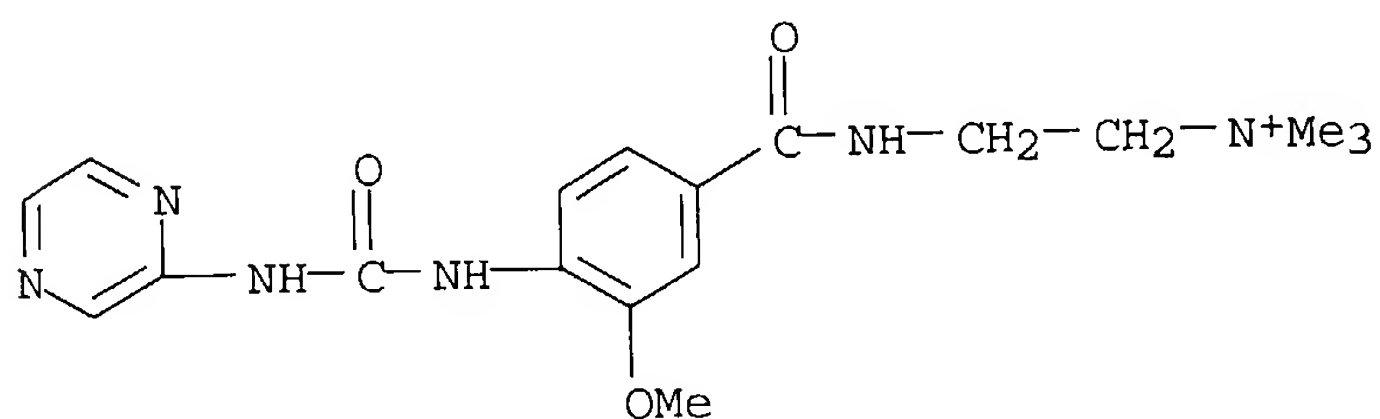




RN 457097-27-7 CAPLUS  
 CN Benzamide, 3-methoxy-N-[3-(4-methyl-1-piperazinyl)propyl]-4-  
 [[(pyrazinylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

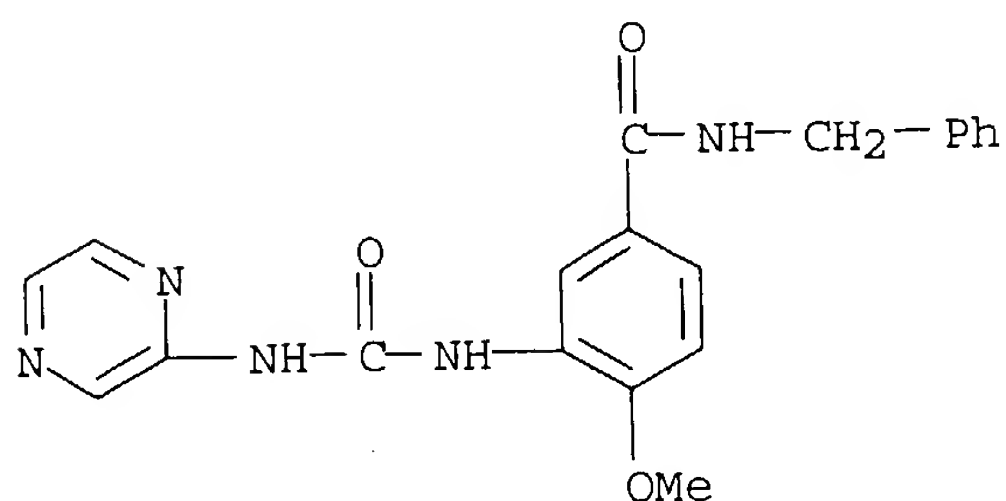


RN 457097-29-9 CAPLUS  
 CN Ethanaminium, 2-[[3-methoxy-4-[[pyrazinylamino]carbonyl]amino]benzoyl]ami-  
 no]-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

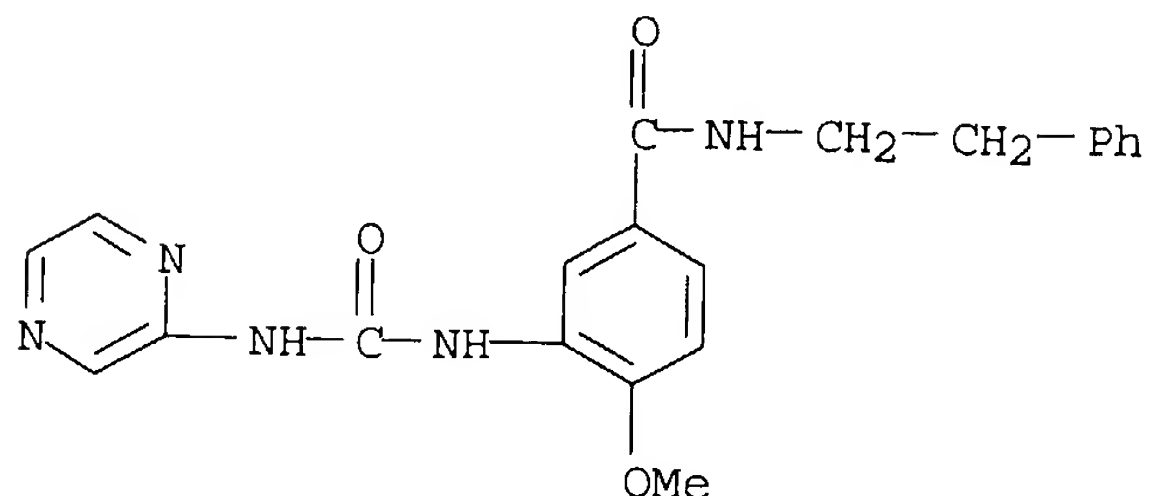


● Cl<sup>-</sup>

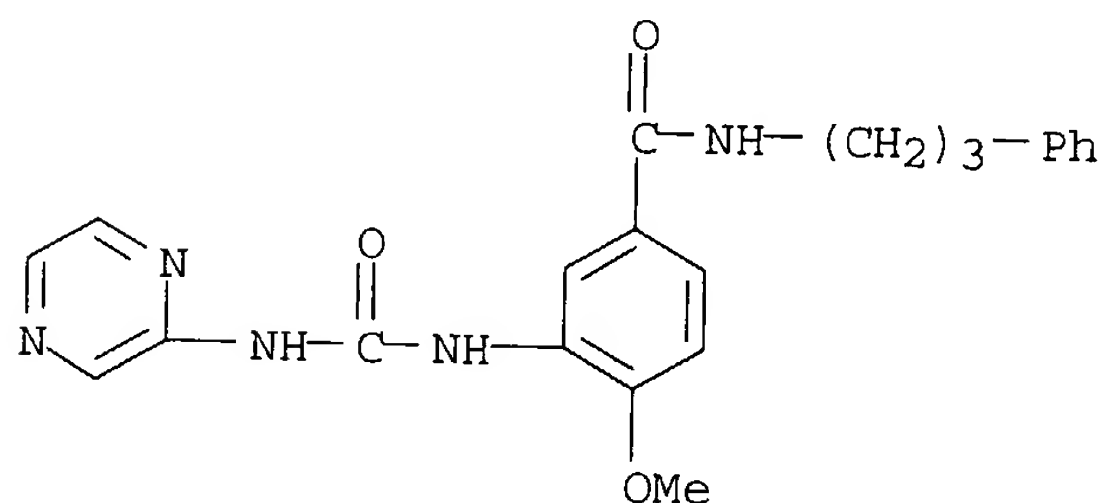
RN 457097-36-8 CAPLUS  
 CN Benzamide, 4-methoxy-N-(phenylmethyl)-3-[[pyrazinylamino]carbonyl]amino]-  
 (9CI) (CA INDEX NAME)



RN 457097-37-9 CAPLUS

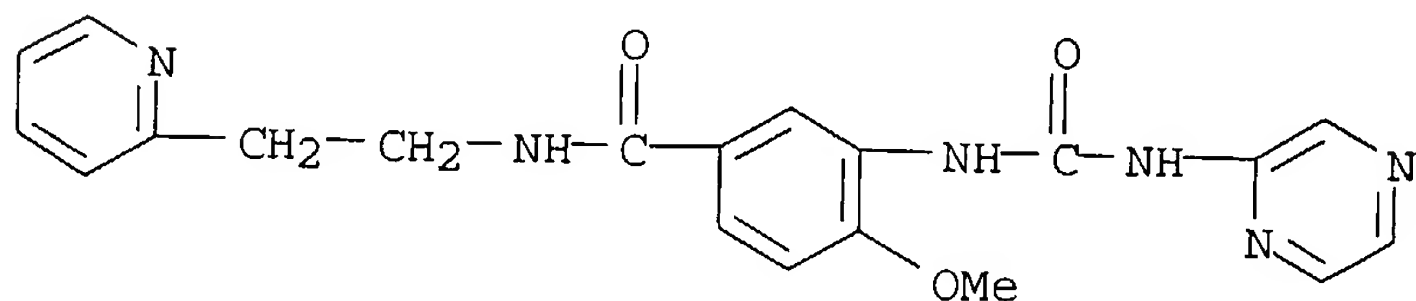
CN Benzamide, 4-methoxy-N-(2-phenylethyl)-3-[[ (pyrazinylamino) carbonyl] amino] -  
(9CI) (CA INDEX NAME)

RN 457097-38-0 CAPLUS

CN Benzamide, 4-methoxy-N-(3-phenylpropyl)-3-[[ (pyrazinylamino) carbonyl] amino]  
]- (9CI) (CA INDEX NAME)

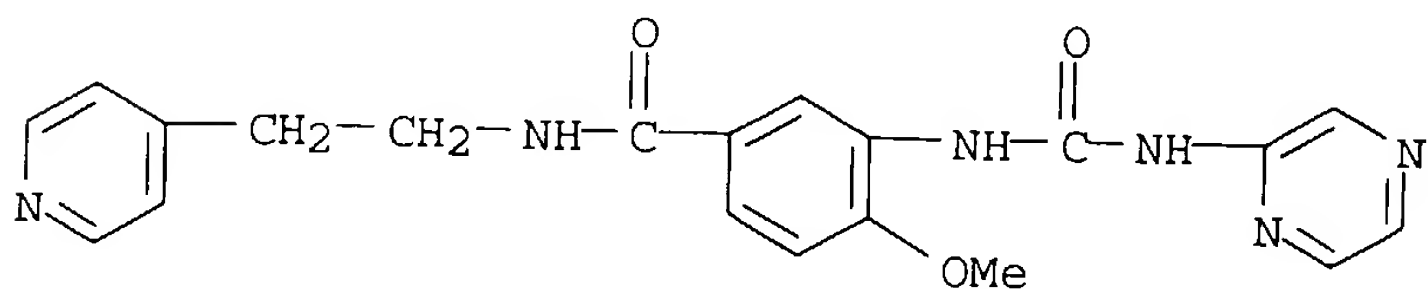
RN 457097-40-4 CAPLUS

CN Benzamide, 4-methoxy-3-[[ (pyrazinylamino) carbonyl] amino] -N-[2-(2-pyridinyl)ethyl] - (9CI) (CA INDEX NAME)

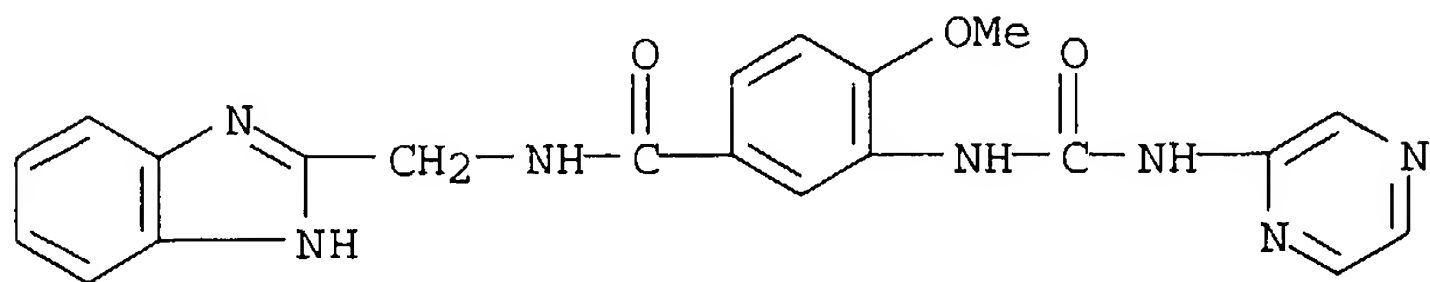


RN 457097-41-5 CAPLUS

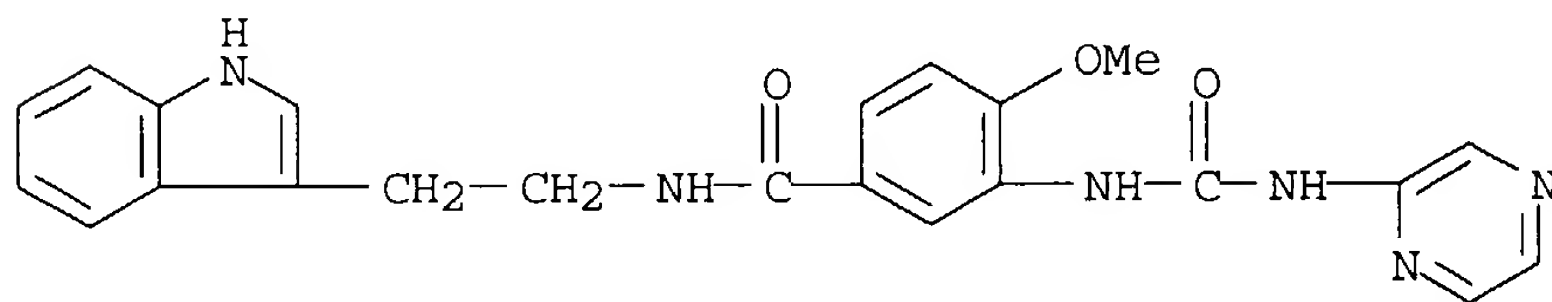
CN Benzamide, 4-methoxy-3-[[ (pyrazinylamino) carbonyl] amino] -N-[2-(4-pyridinyl)ethyl] - (9CI) (CA INDEX NAME)



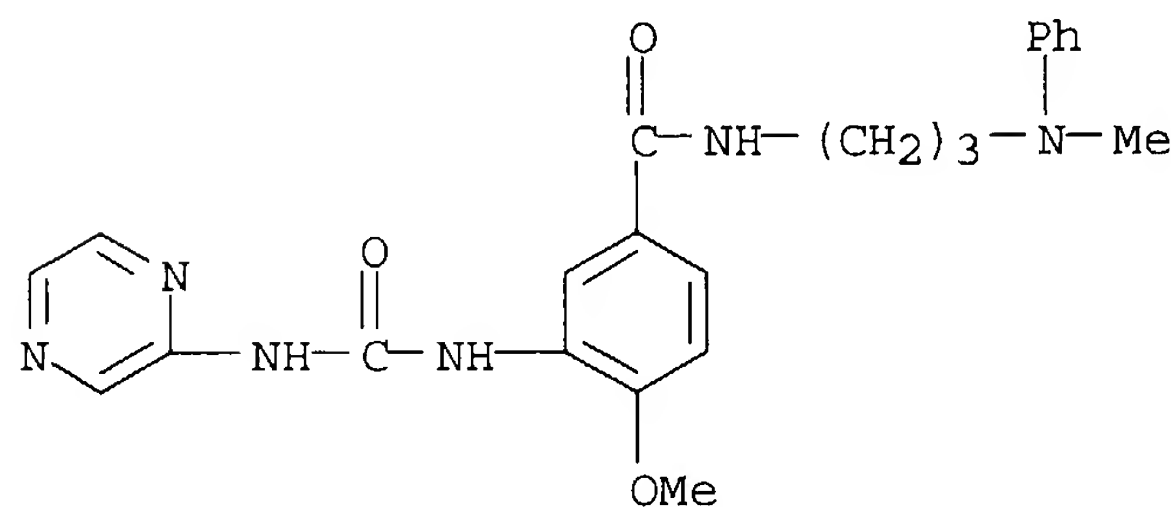
RN 457097-42-6 CAPLUS

CN Benzamide, N-(1H-benzimidazol-2-ylmethyl)-4-methoxy-3-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

RN 457097-43-7 CAPLUS

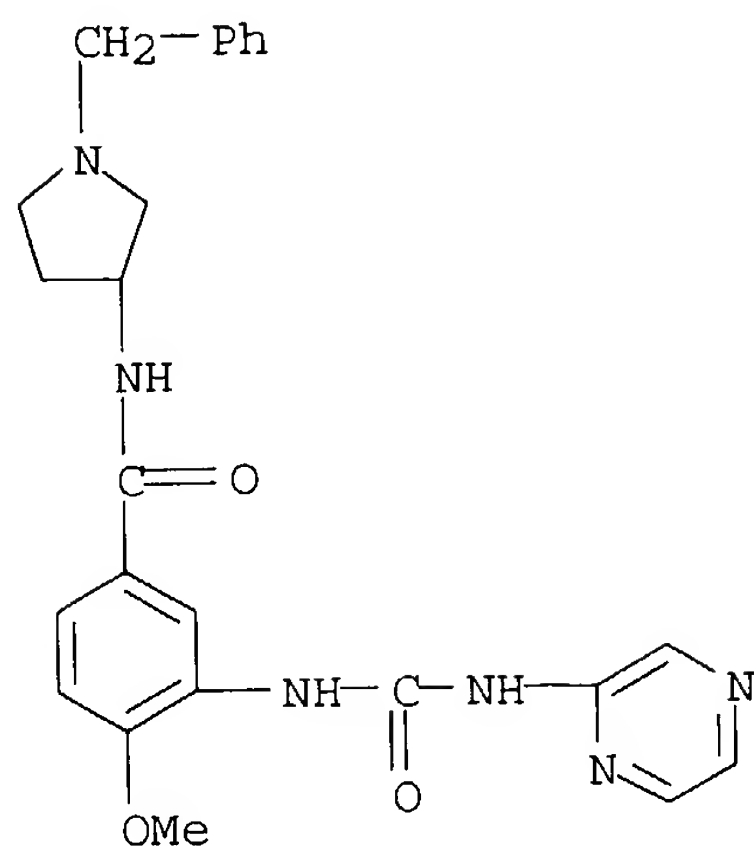
CN Benzamide, N-[2-(1H-indol-3-yl)ethyl]-4-methoxy-3-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

RN 457097-44-8 CAPLUS

CN Benzamide, 4-methoxy-N-[3-(methylphenylamino)propyl]-3-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)

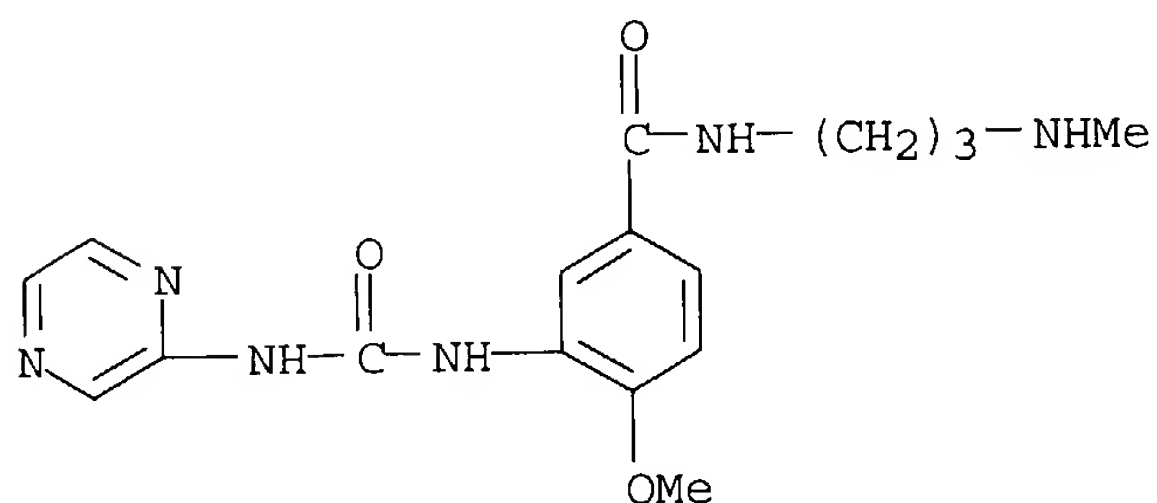
RN 457097-45-9 CAPLUS

CN Benzamide, 4-methoxy-N-[1-(phenylmethyl)-3-pyrrolidinyl]-3-  
[[pyrazinylamino]carbonylamino] - (9CI) (CA INDEX NAME)



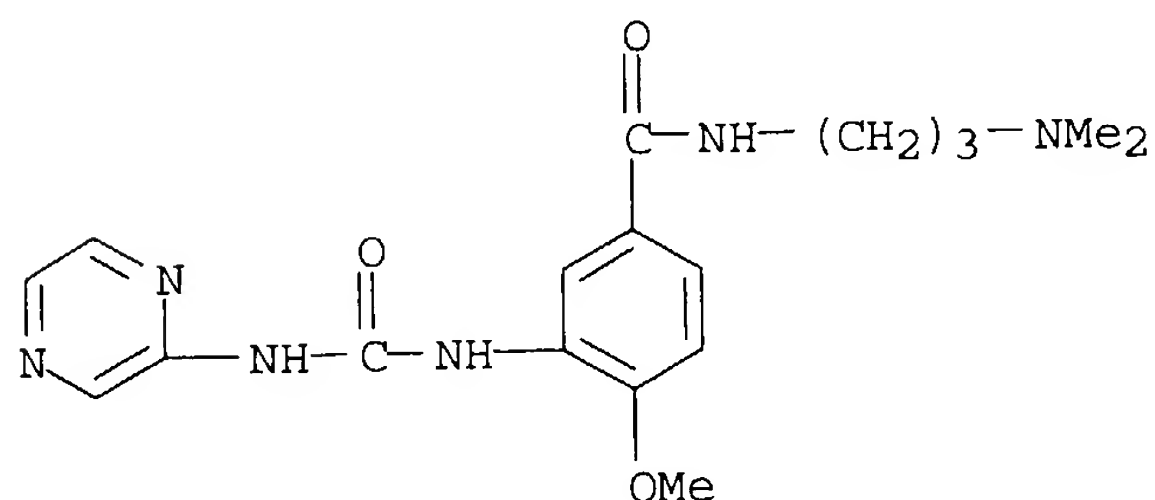
RN 457097-47-1 CAPLUS

CN Benzamide, 4-methoxy-N-[3-(methyamino)propyl]-3-  
 [[(pyrazinylamino)carbonyl]amino] - (9CI) (CA INDEX NAME)



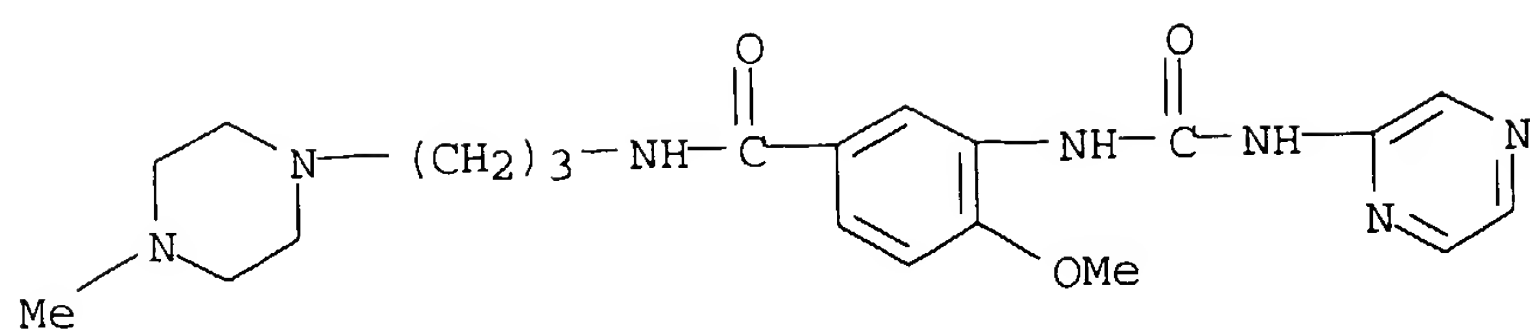
RN 457097-48-2 CAPLUS

CN Benzamide, N-[3-(dimethylamino)propyl]-4-methoxy-3-  
 [[(pyrazinylamino)carbonyl]amino] - (9CI) (CA INDEX NAME)

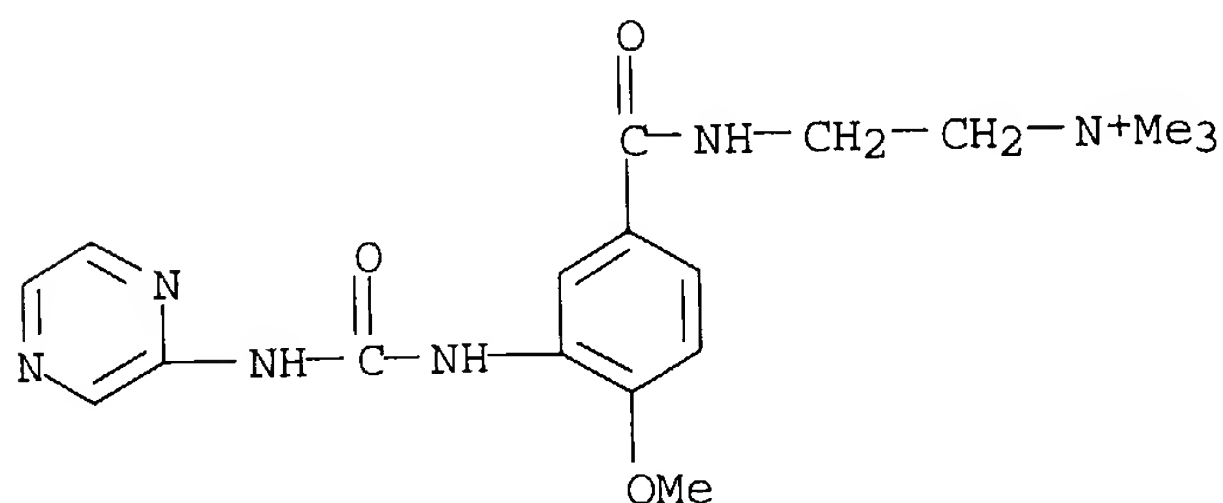


RN 457097-50-6 CAPLUS

CN Benzamide, 4-methoxy-N-[3-(4-methyl-1-piperazinyl)propyl]-3-  
 [[(pyrazinylamino)carbonyl]amino] - (9CI) (CA INDEX NAME)

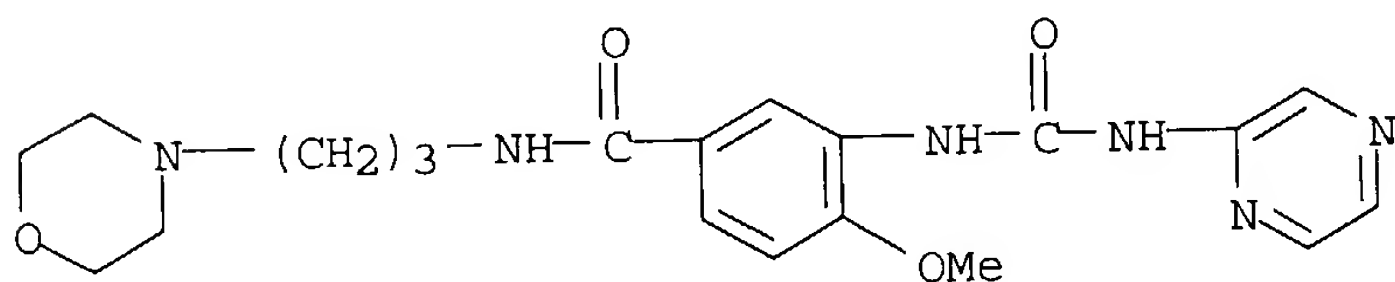


RN 457097-51-7 CAPLUS  
 CN Ethanaminium, 2-[[4-methoxy-3-[[[(pyrazinylamino)carbonyl]amino]benzoyl]amino]-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

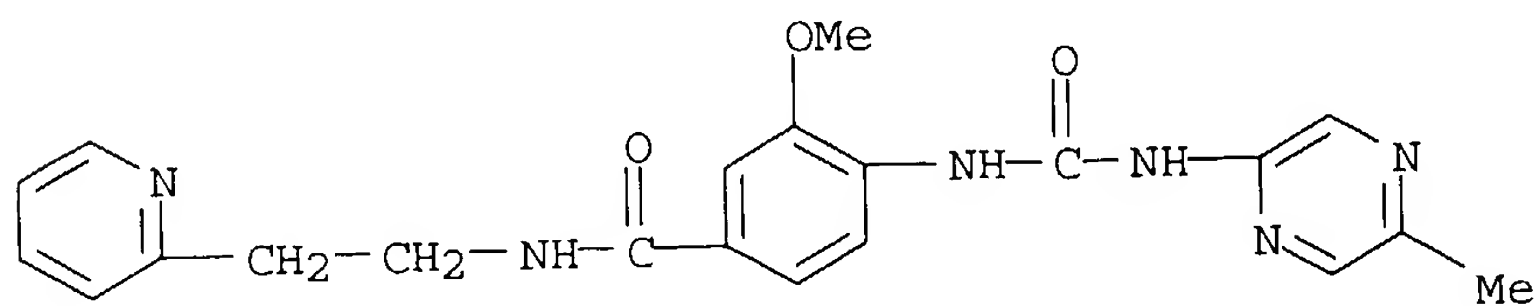


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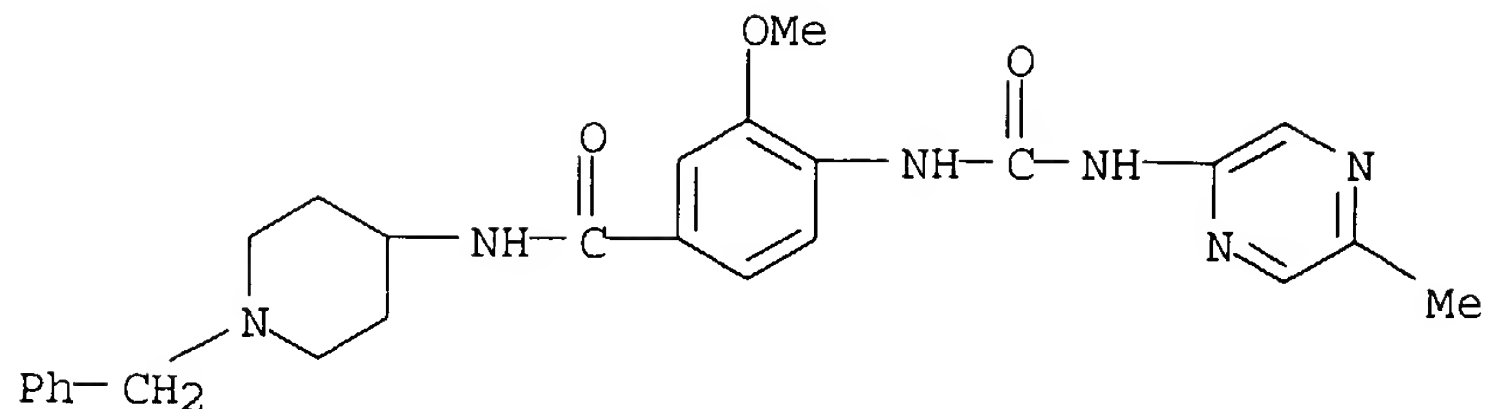
RN 457097-52-8 CAPLUS  
 CN Benzamide, 4-methoxy-N-[3-(4-morpholinyl)propyl]-3-[[[(pyrazinylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 457097-57-3 CAPLUS  
 CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

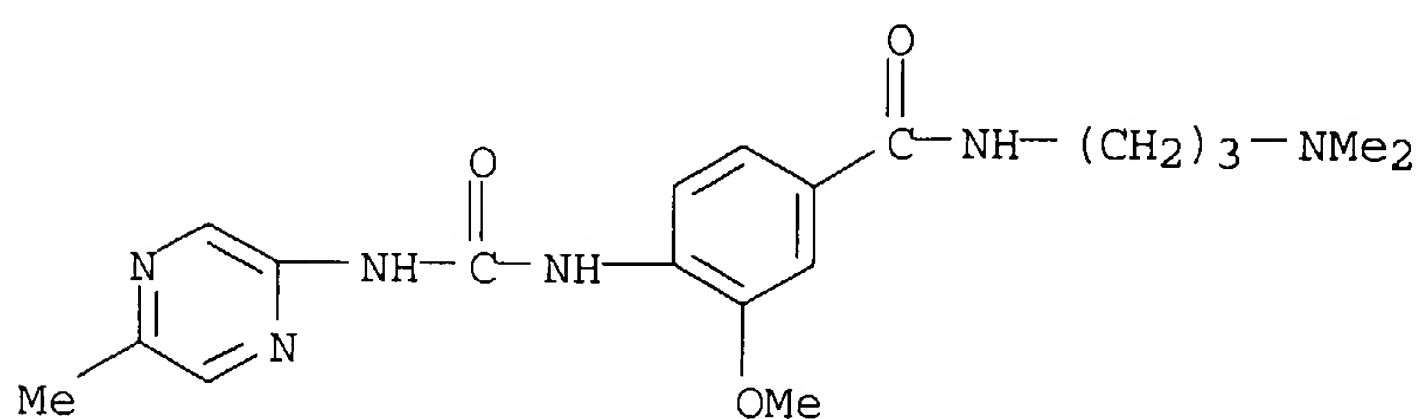


RN 457097-59-5 CAPLUS  
 CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



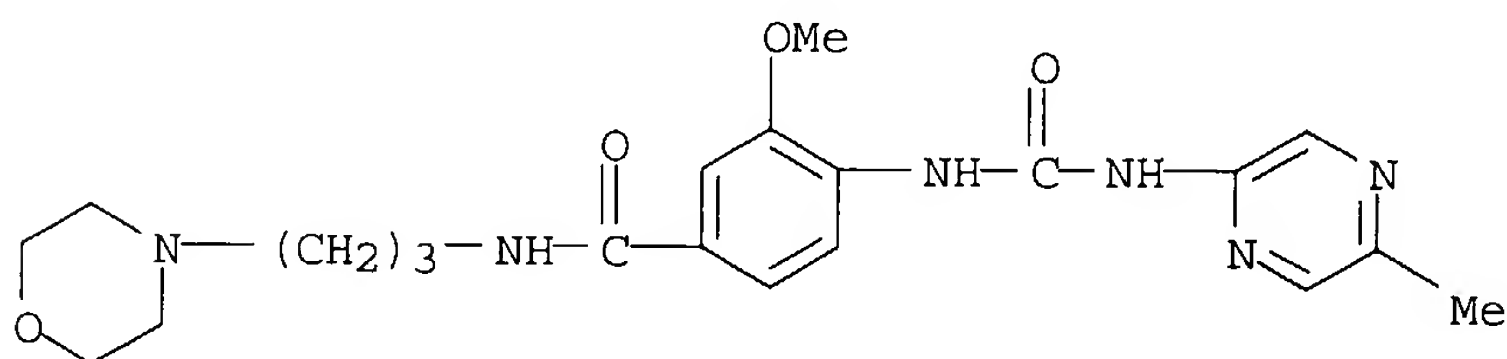
RN 457097-61-9 CAPLUS

CN Benzamide, N-[3-(dimethylamino)propyl]-3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



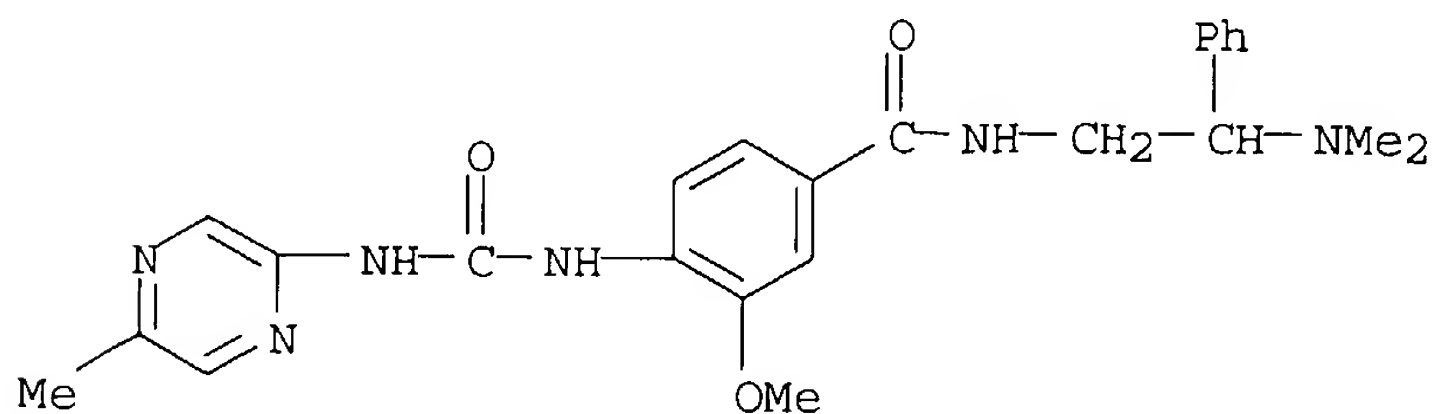
RN 457097-63-1 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] -N-[3-(4-morpholinyl)propyl] - (9CI) (CA INDEX NAME)



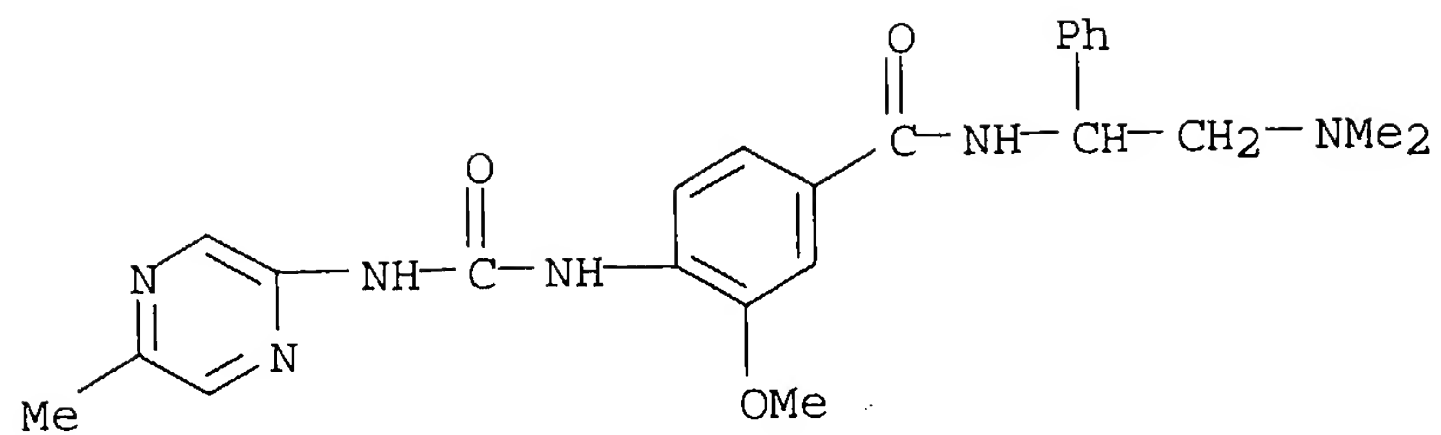
RN 457097-65-3 CAPLUS

CN Benzamide, N-[2-(dimethylamino)-2-phenylethyl]-3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

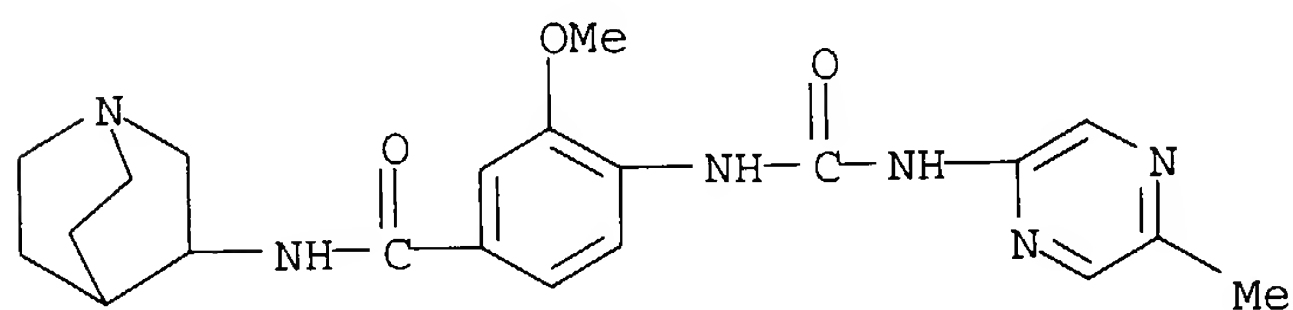


RN 457097-68-6 CAPLUS

CN Benzamide, N-[2-(dimethylamino)-1-phenylethyl]-3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

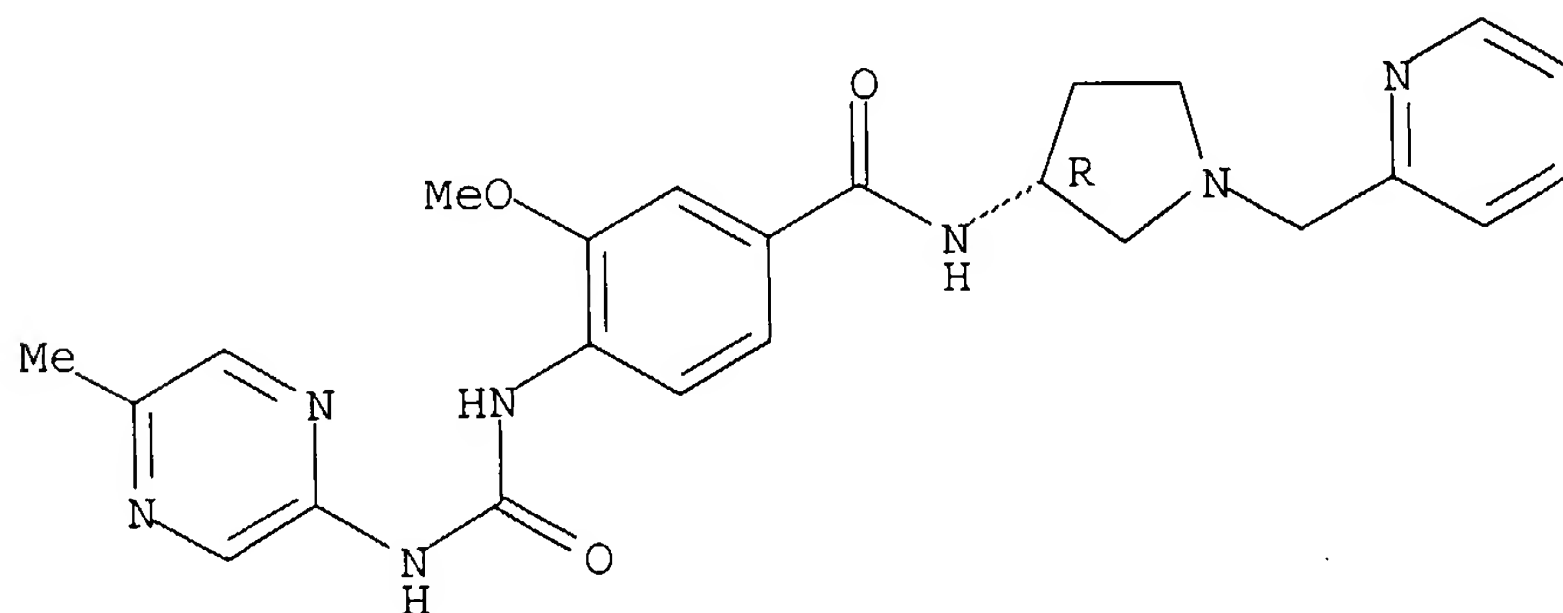


RN 457097-70-0 CAPLUS  
 CN Benzamide, N-1-azabicyclo[2.2.2]oct-3-yl-3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



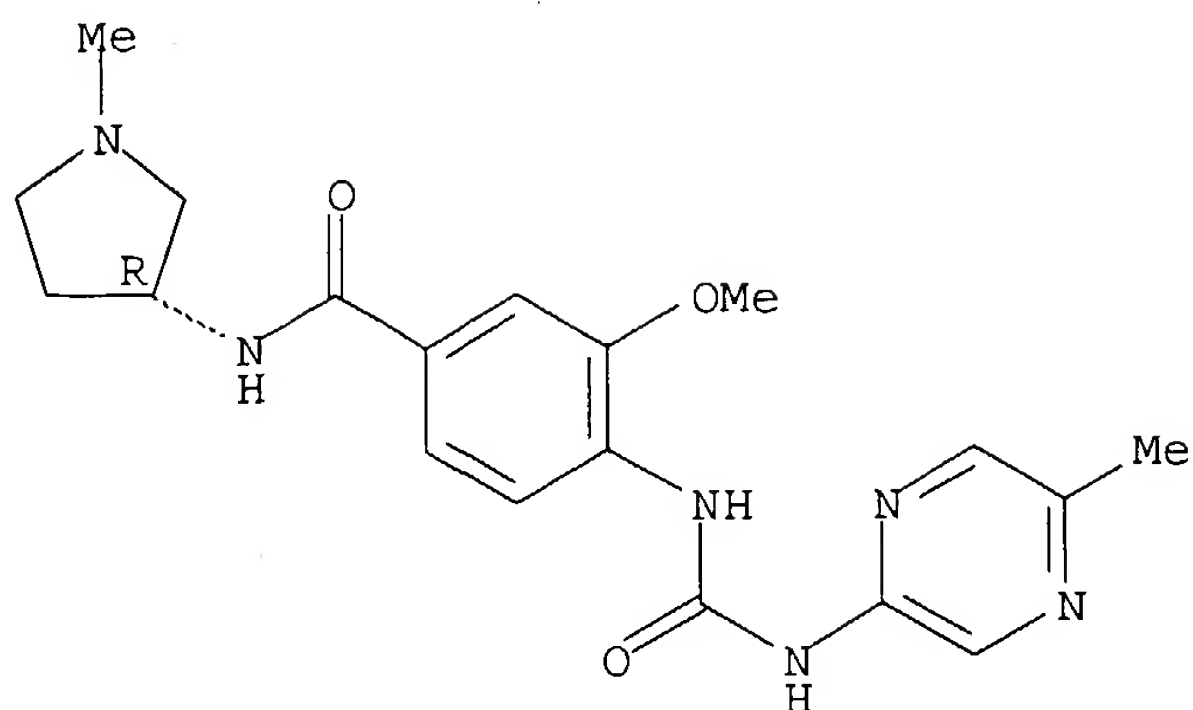
RN 457097-71-1 CAPLUS  
 CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] -N-[(3R)-1-(2-pyridinylmethyl)-3-pyrrolidinyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457097-74-4 CAPLUS  
 CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] -N-[(3R)-1-methyl-3-pyrrolidinyl] - (9CI) (CA INDEX NAME)

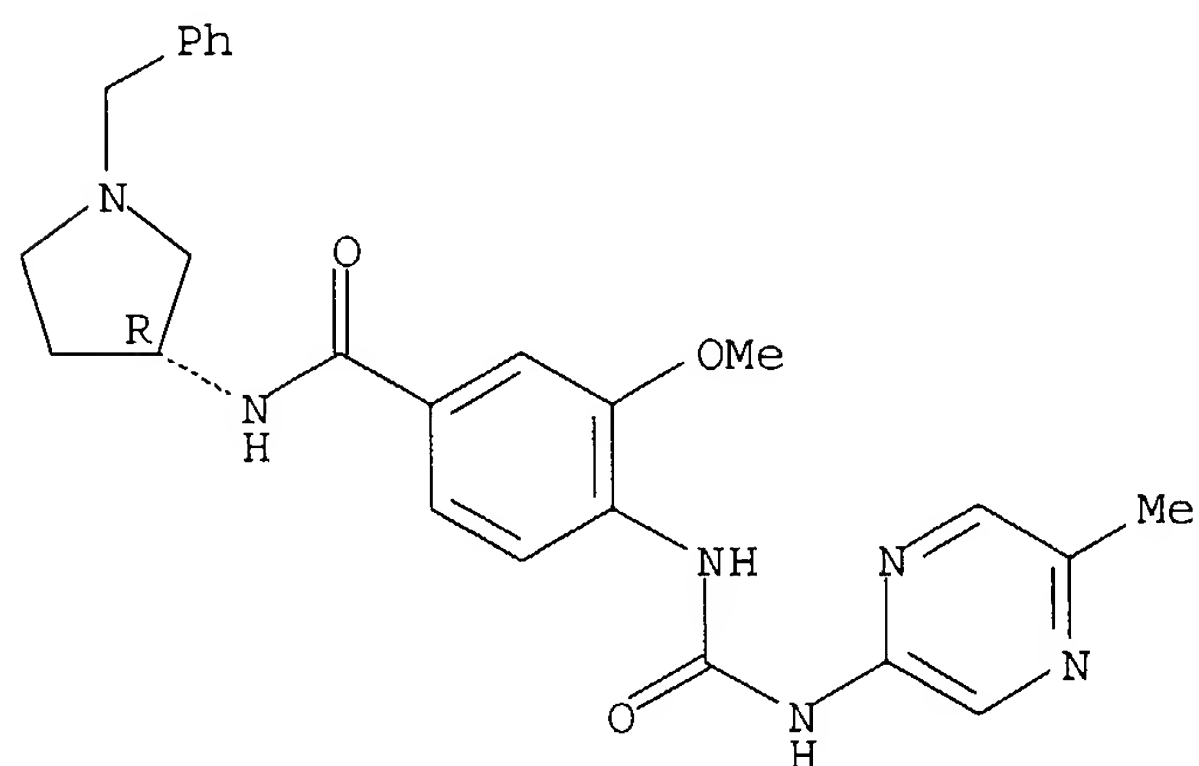
Absolute stereochemistry.



RN 457097-76-6 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

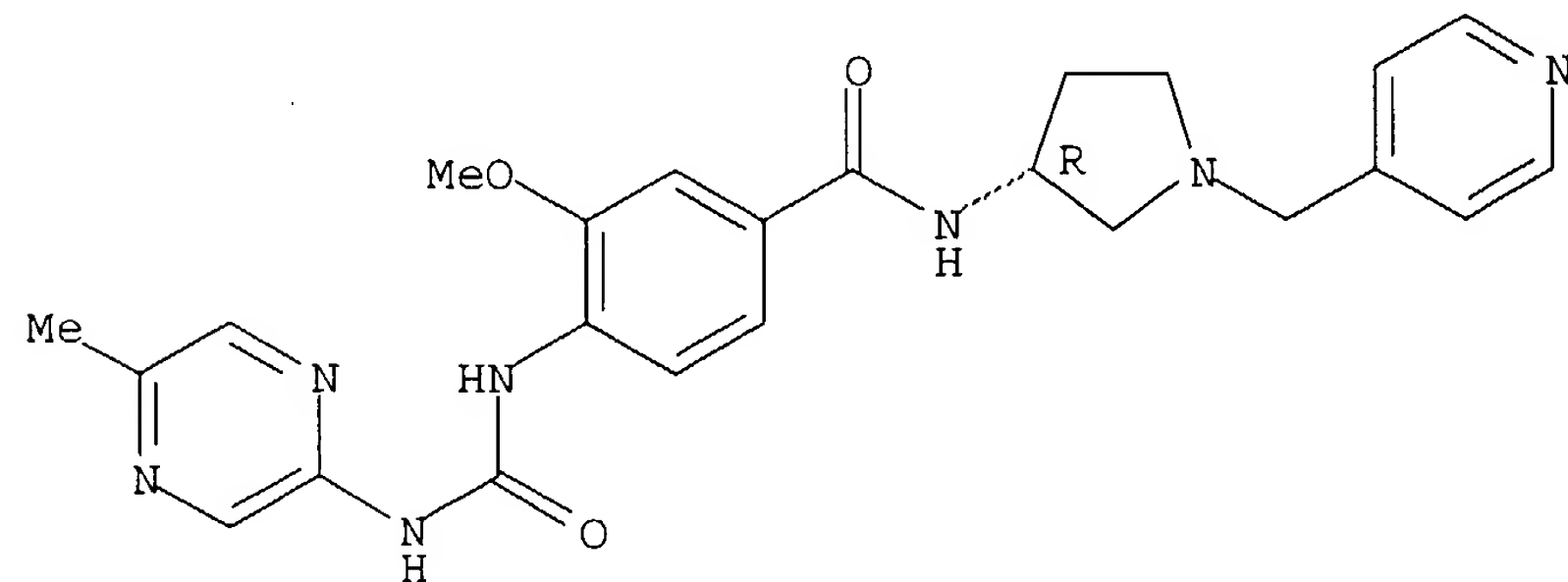
Absolute stereochemistry.



RN 457097-77-7 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3R)-1-(4-pyridinylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

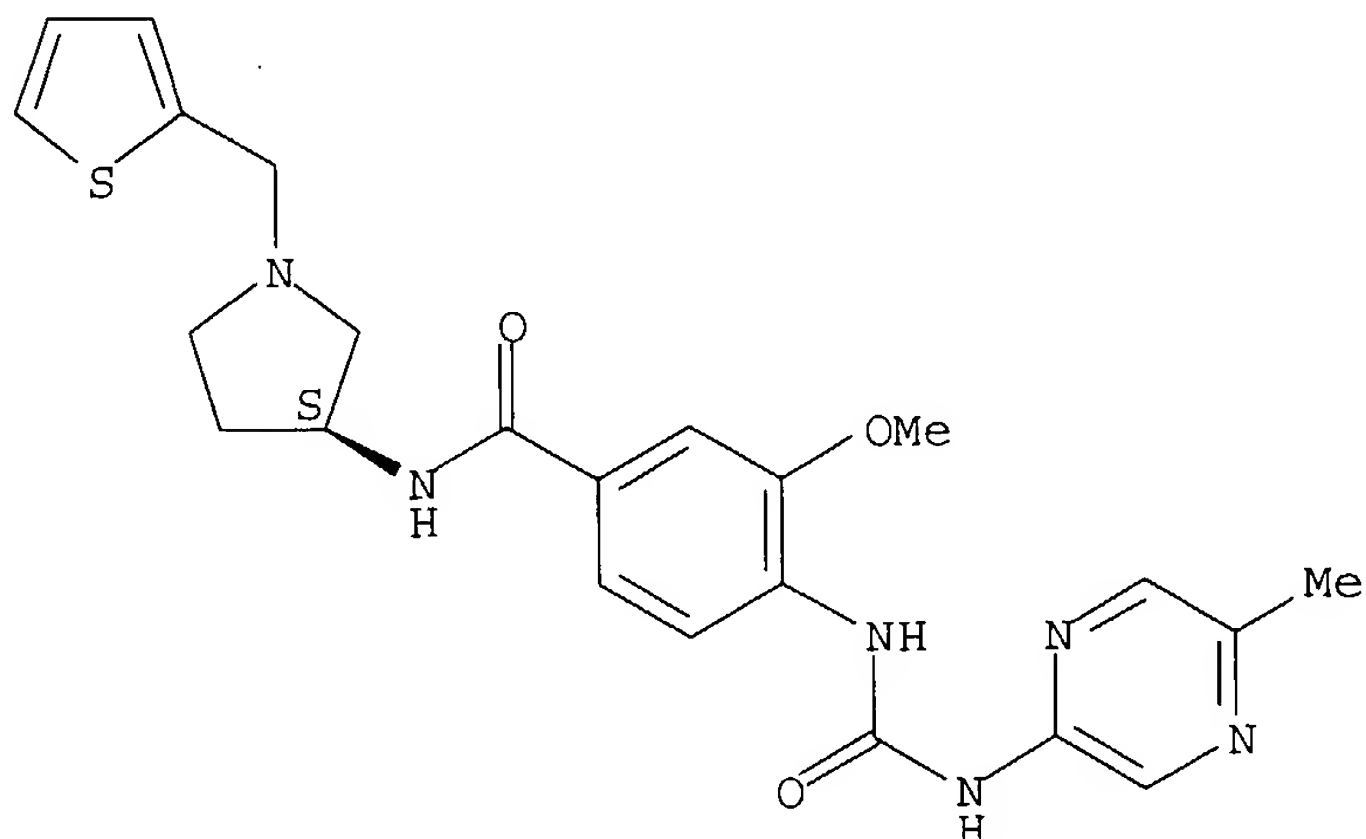




RN 457097-79-9 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(2-thienylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

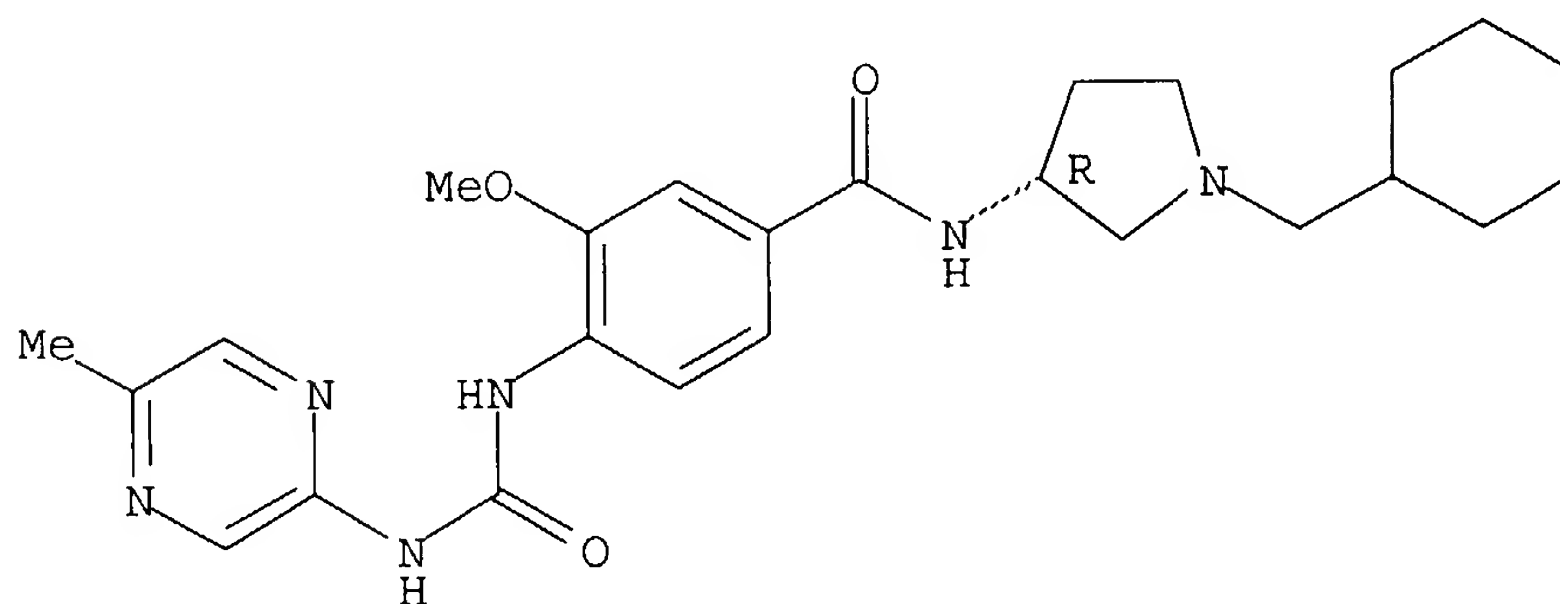
Absolute stereochemistry.



RN 457097-81-3 CAPLUS

CN Benzamide, N-[(3R)-1-(cyclohexylmethyl)-3-pyrrolidinyl]-3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

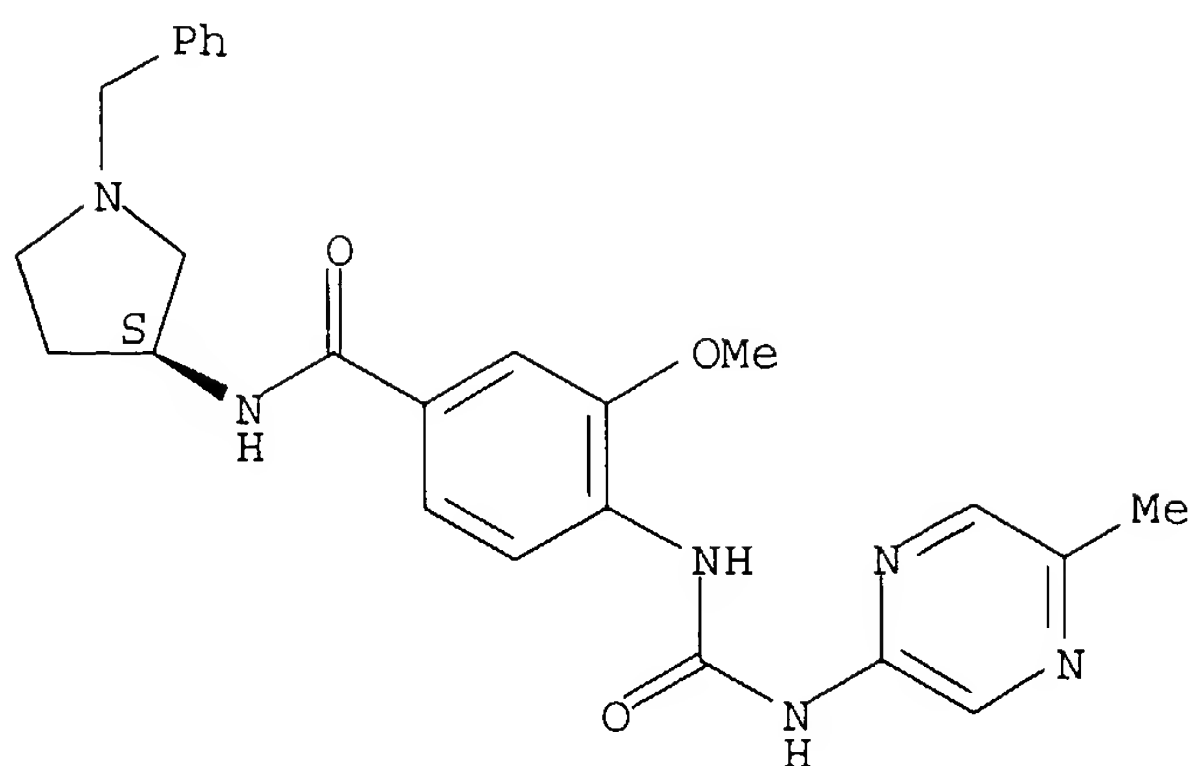
Absolute stereochemistry.



RN 457097-83-5 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

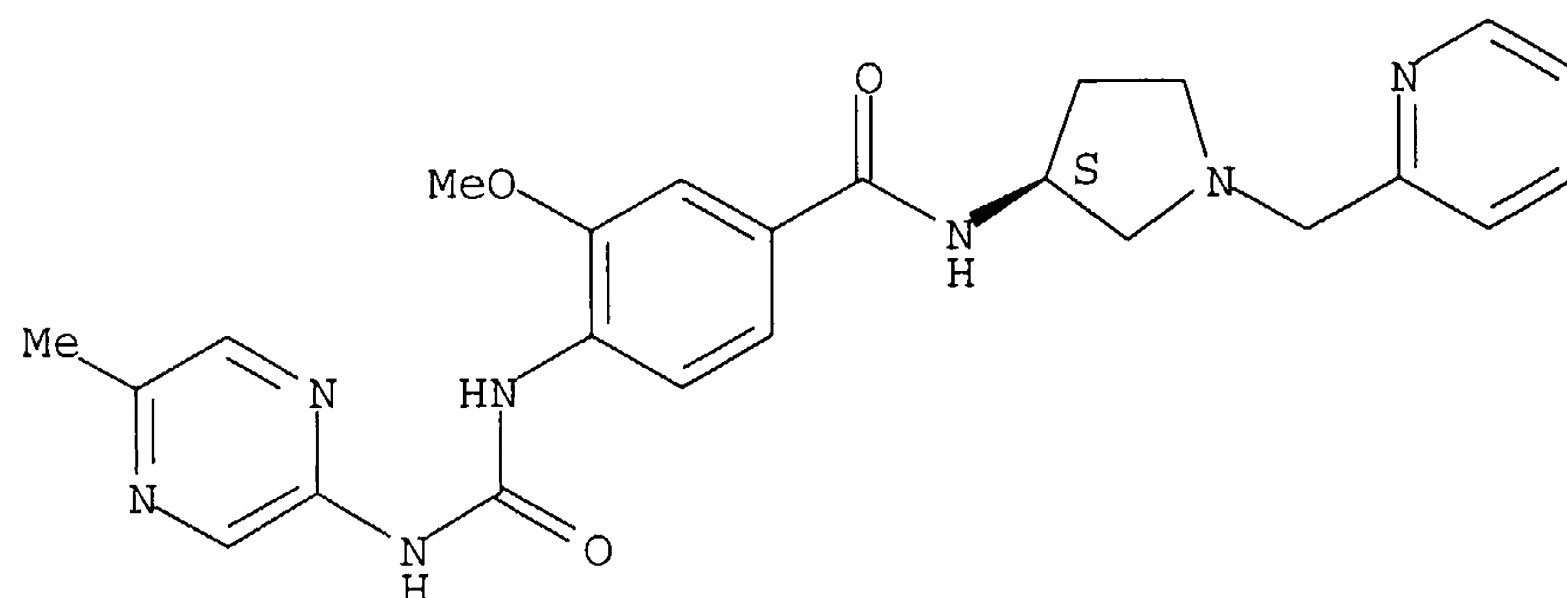
Absolute stereochemistry.



RN 457097-84-6 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(2-pyridinylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

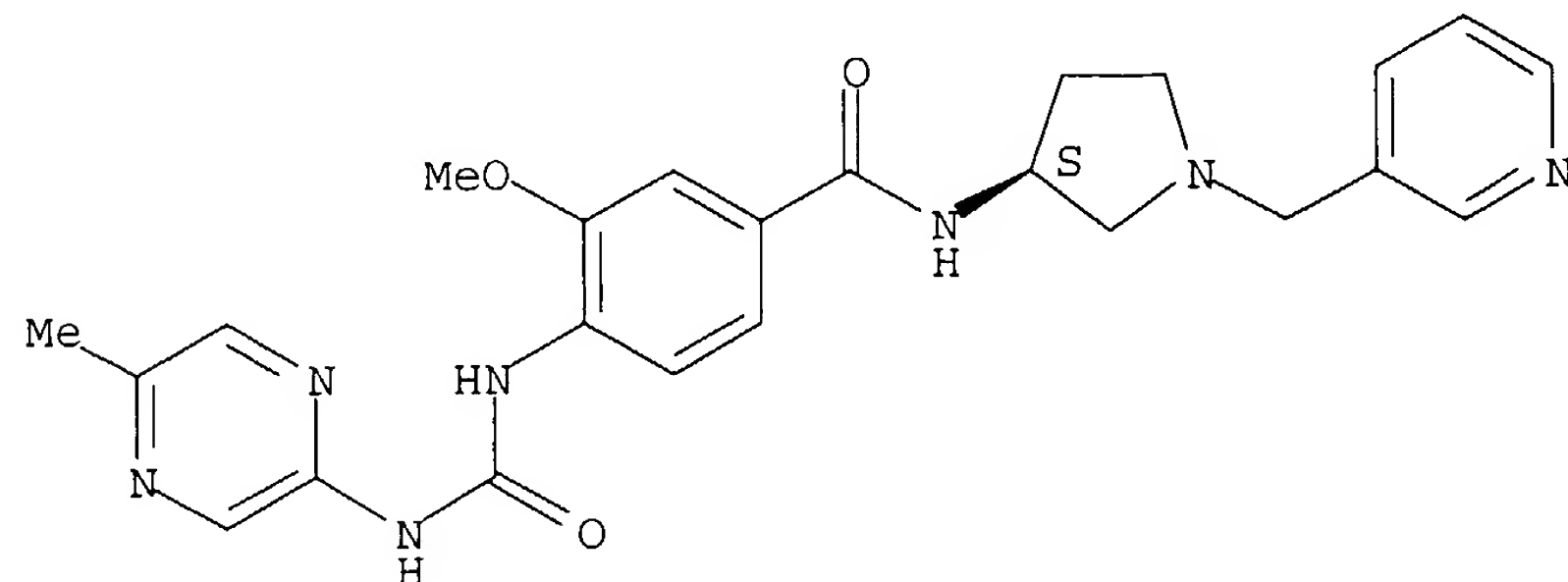
Absolute stereochemistry.



RN 457097-86-8 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(3-pyridinylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

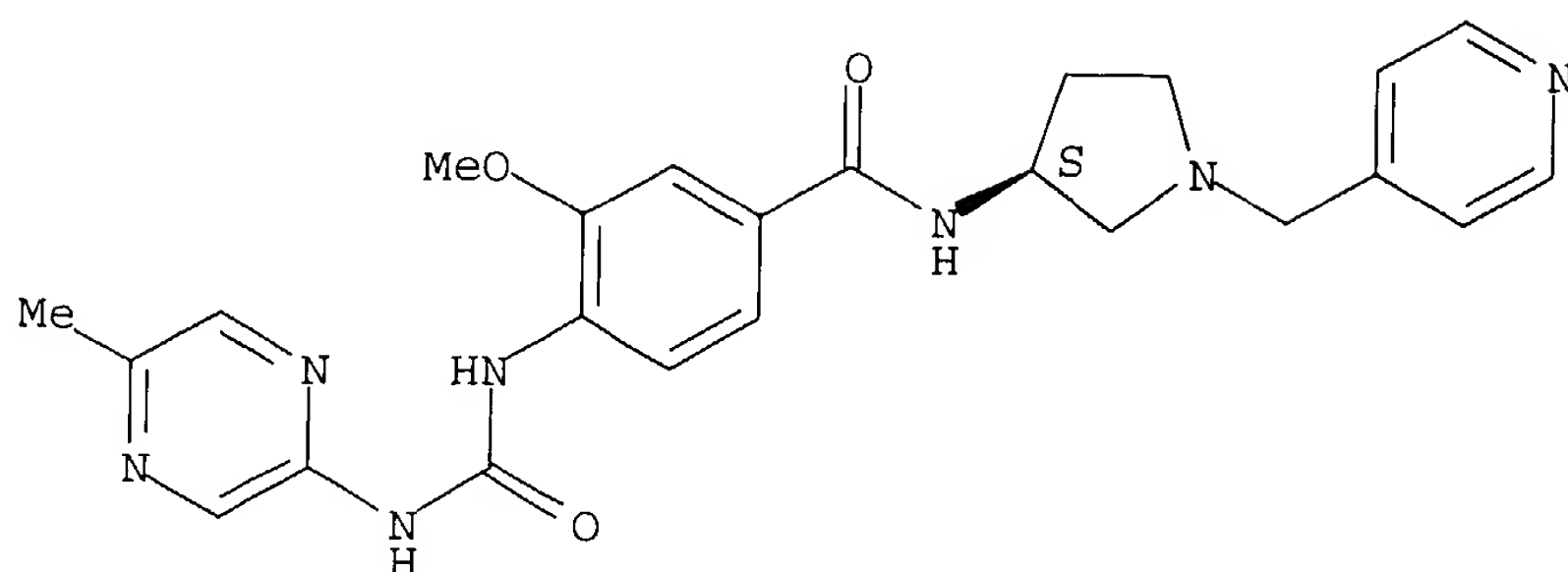
Absolute stereochemistry.



RN 457097-87-9 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(4-pyridinylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

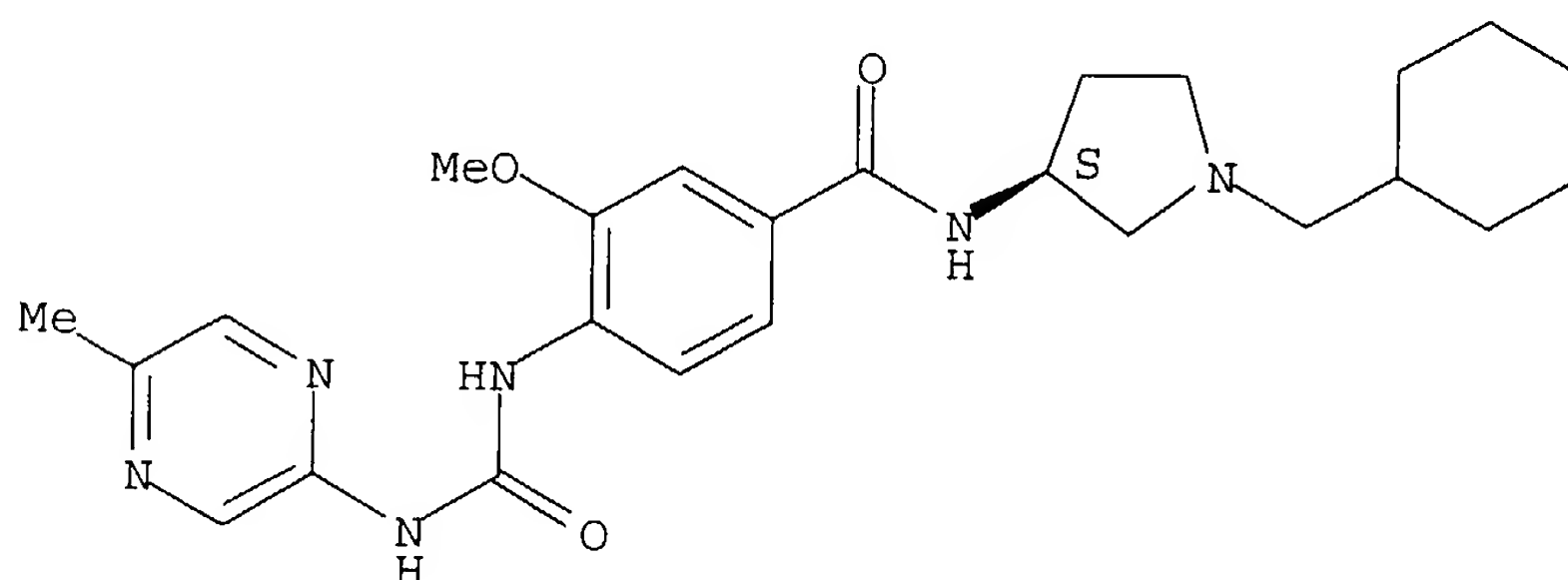
Absolute stereochemistry.



RN 457097-90-4 CAPLUS

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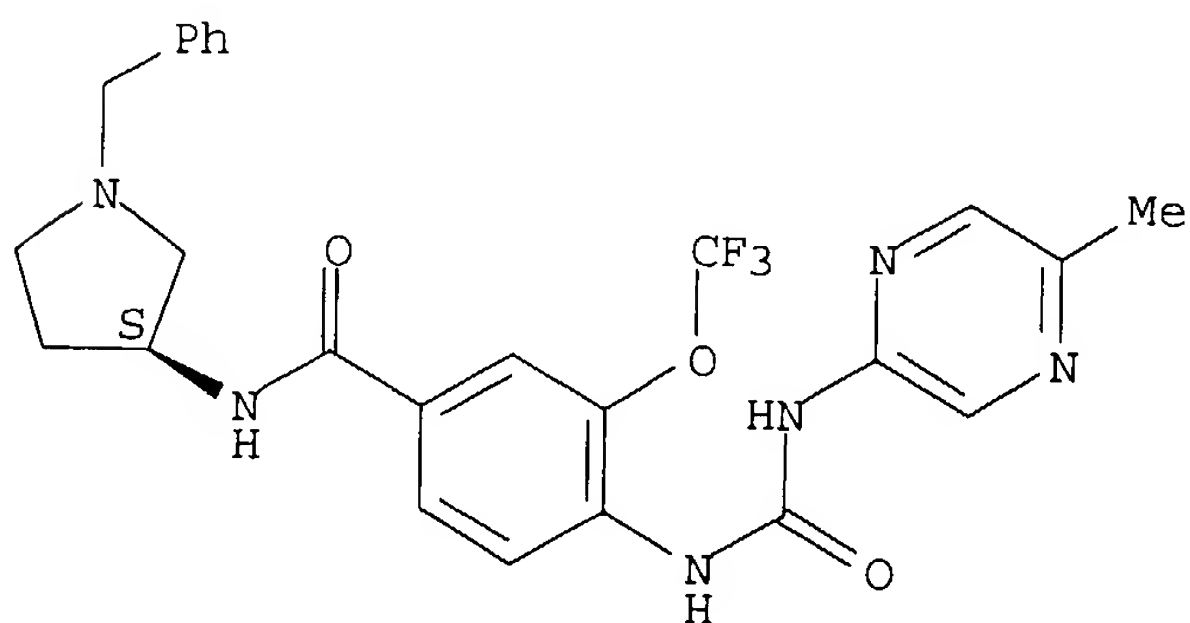
Absolute stereochemistry.



RN 457097-92-6 CAPLUS

CN Benzamide, 4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

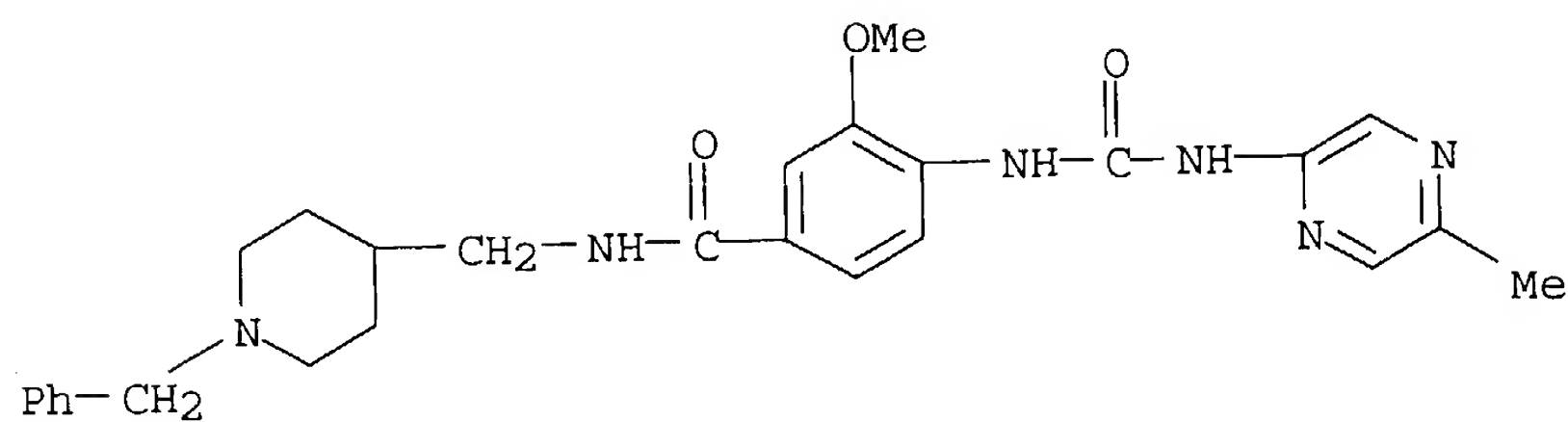
Absolute stereochemistry.



RN 457097-97-1 CAPLUS

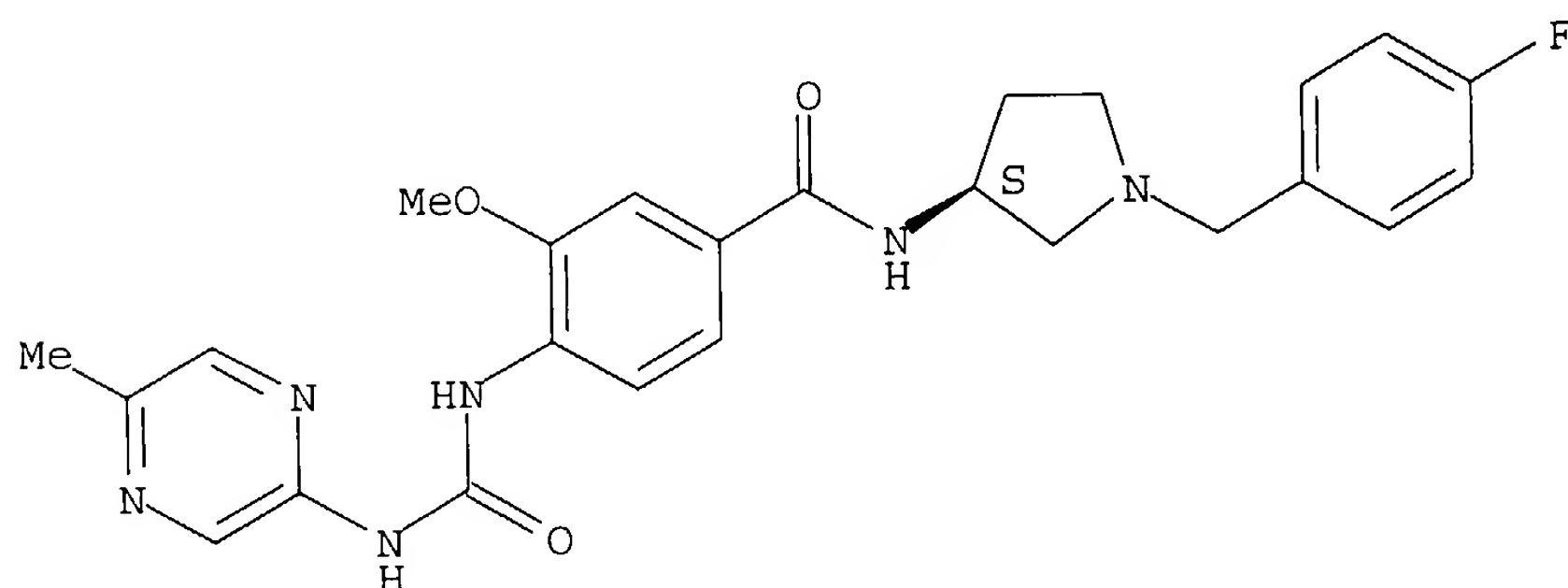
CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[[1-

(phenylmethyl)-4-piperidinyllmethyl] - (9CI) (CA INDEX NAME)



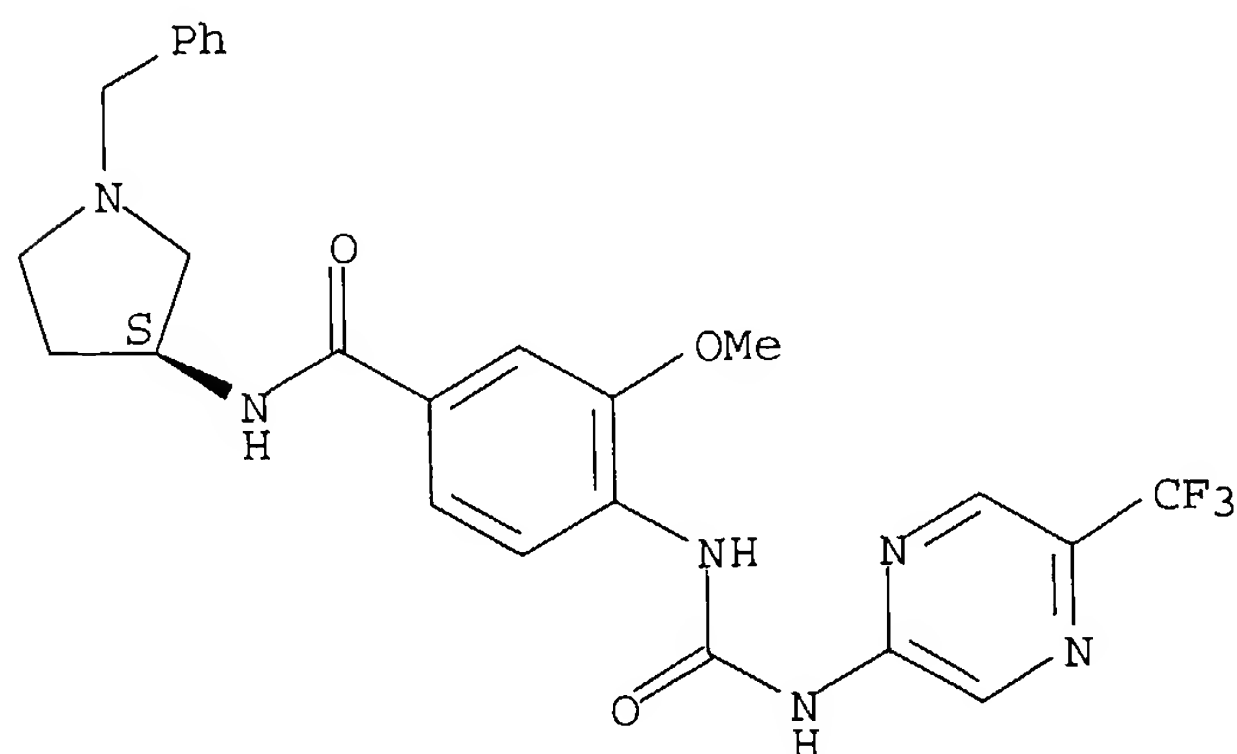
RN 457097-98-2 CAPLUS  
 CN Benzamide, N-[(3S)-1-[(4-fluorophenyl)methyl]-3-pyrrolidinyll]-3-methoxy-4-  
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Absolute stereochemistry.



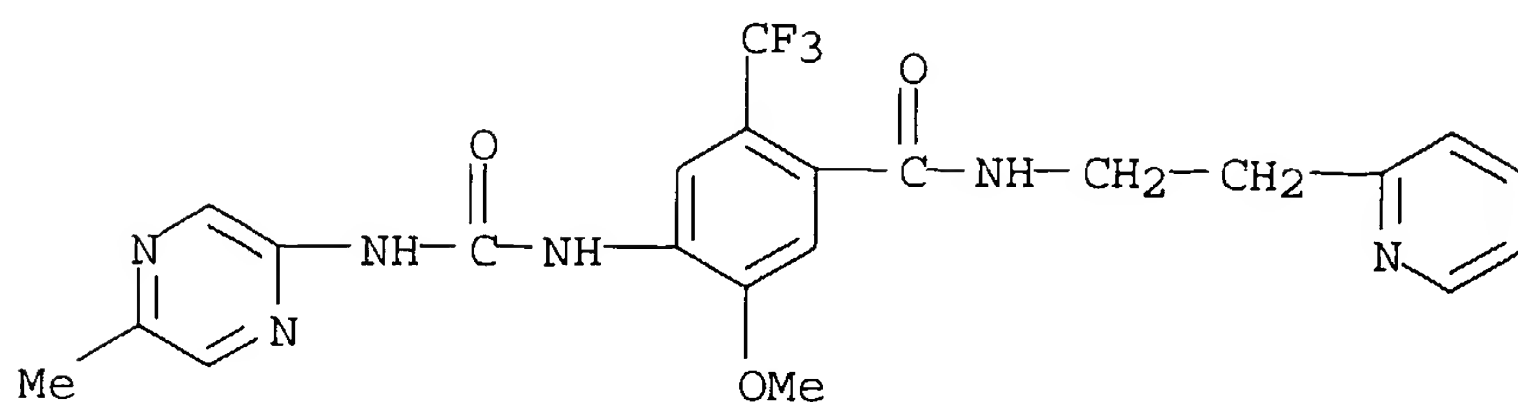
RN 457098-04-3 CAPLUS  
 CN Benzamide, 3-methoxy-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyll]-4-[[[(5-  
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Absolute stereochemistry.



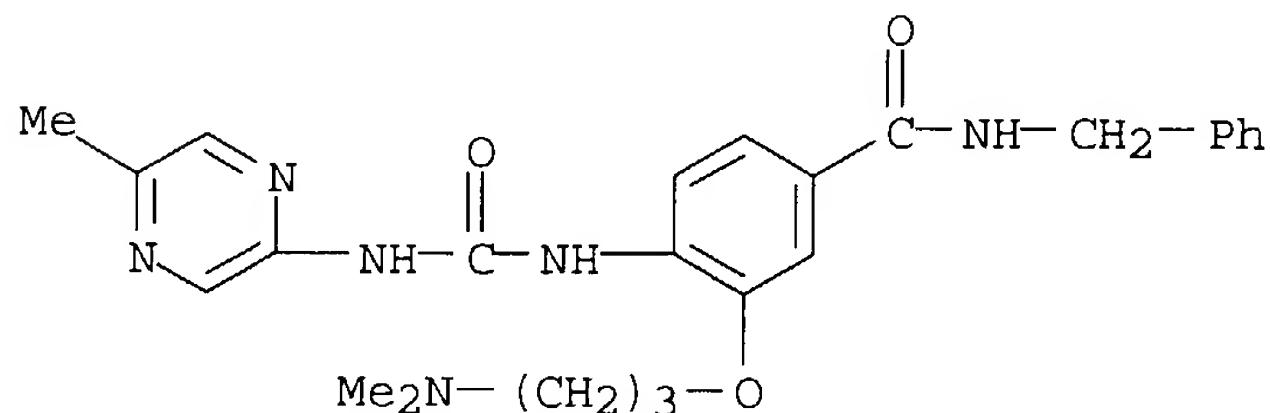
RN 457098-08-7 CAPLUS  
 CN Benzamide, 5-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino] -N-[2-(2-

pyridinyl)ethyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



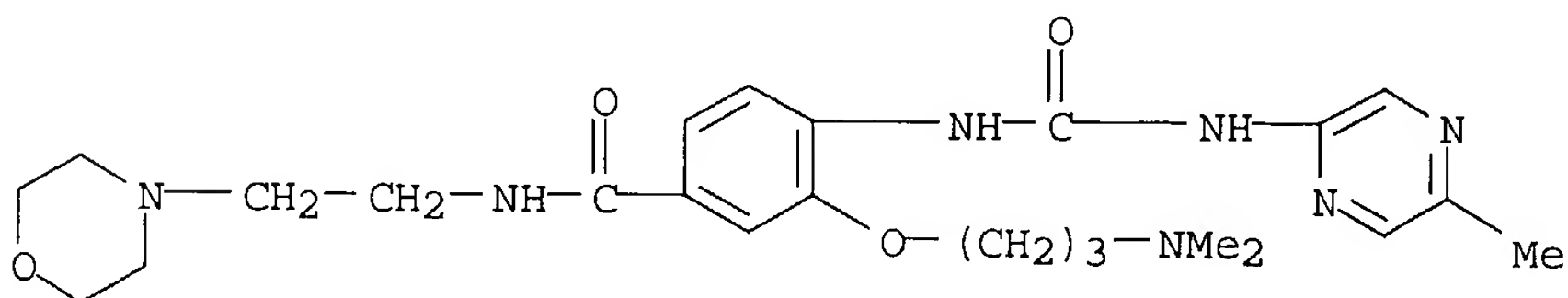
RN 457098-23-6 CAPLUS

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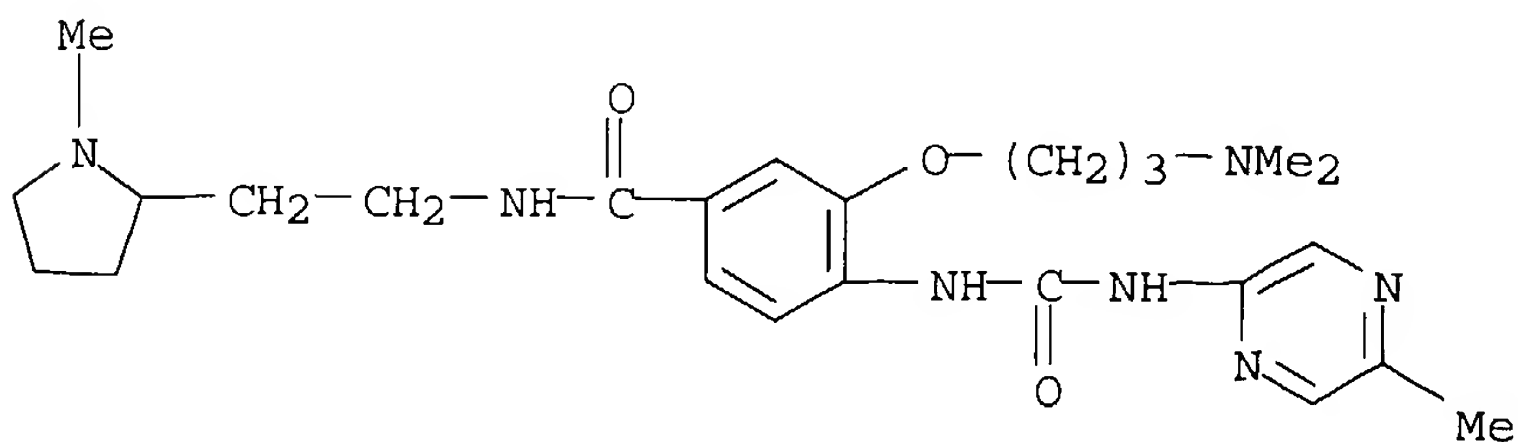
RN 457098-25-8 CAPLUS

CN Benzamide, 3-[3-(dimethylamino)propoxy]-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



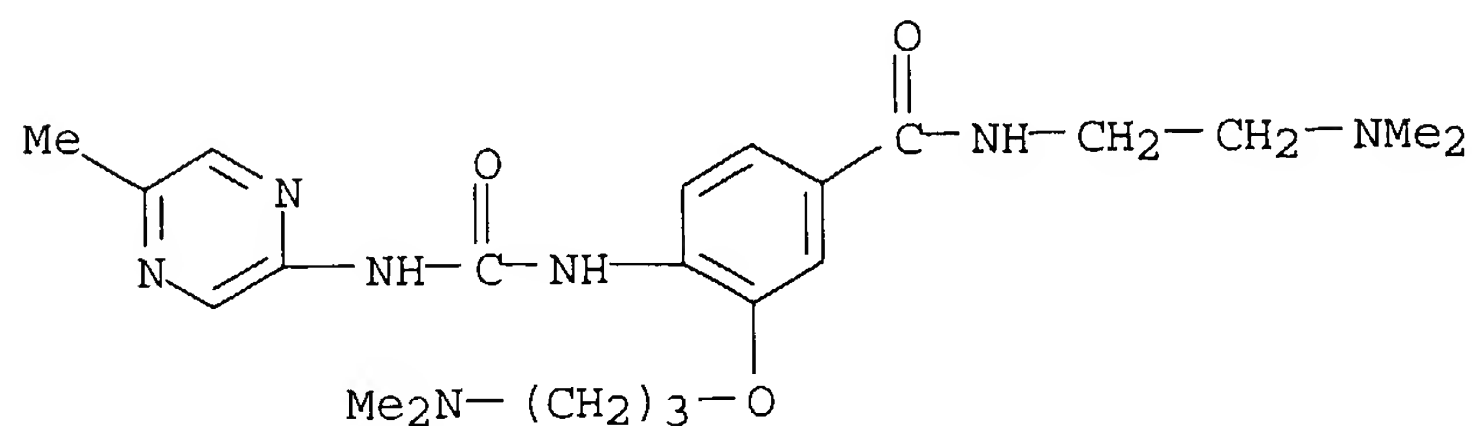
RN 457098-26-9 CAPLUS

CN Benzamide, 3-[3-(dimethylamino)propoxy]-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 457098-27-0 CAPLUS

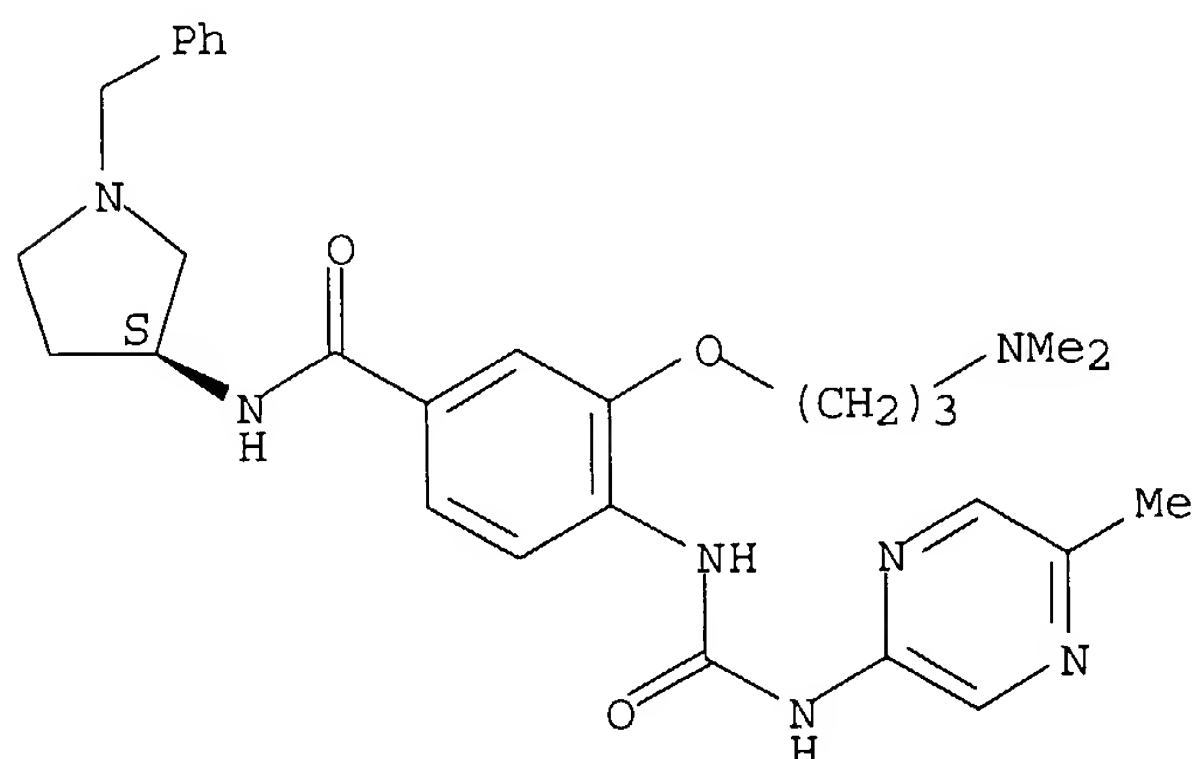
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RN 457098-28-1 CAPLUS

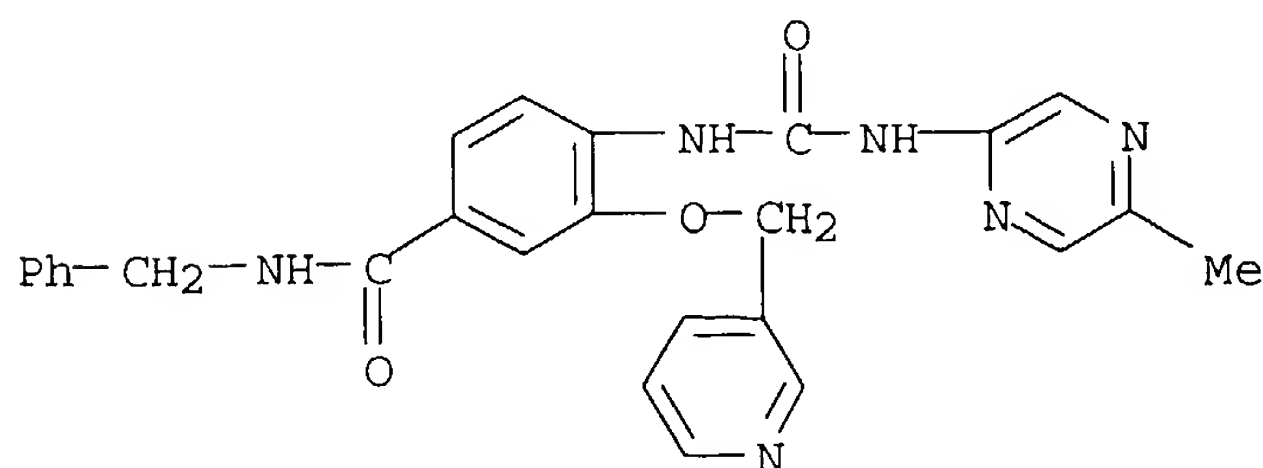
CN Benzamide, 3-[3-(dimethylamino)propoxy]-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



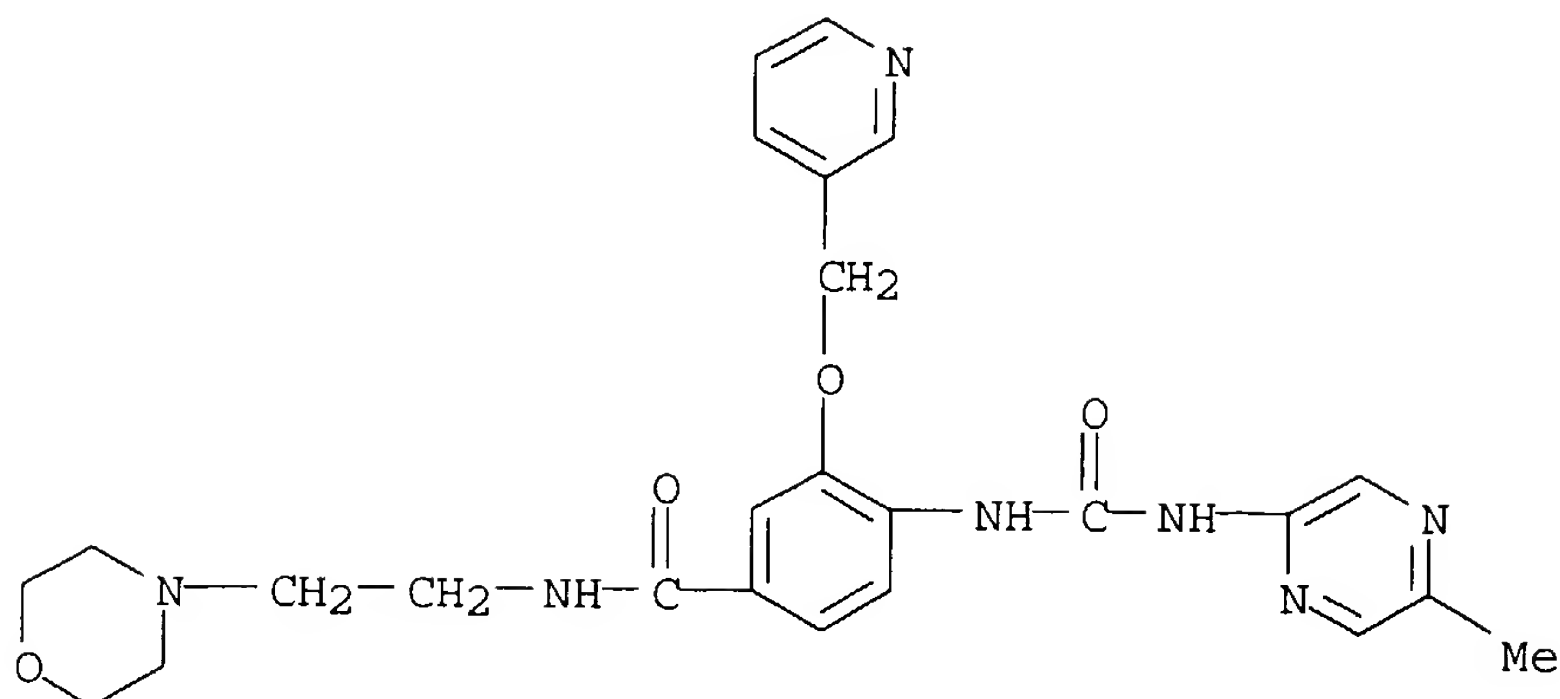
RN 457098-32-7 CAPLUS

CN Benzamide, 4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-(phenylmethyl)-3-(3-pyridinylmethoxy)-(9CI) (CA INDEX NAME)



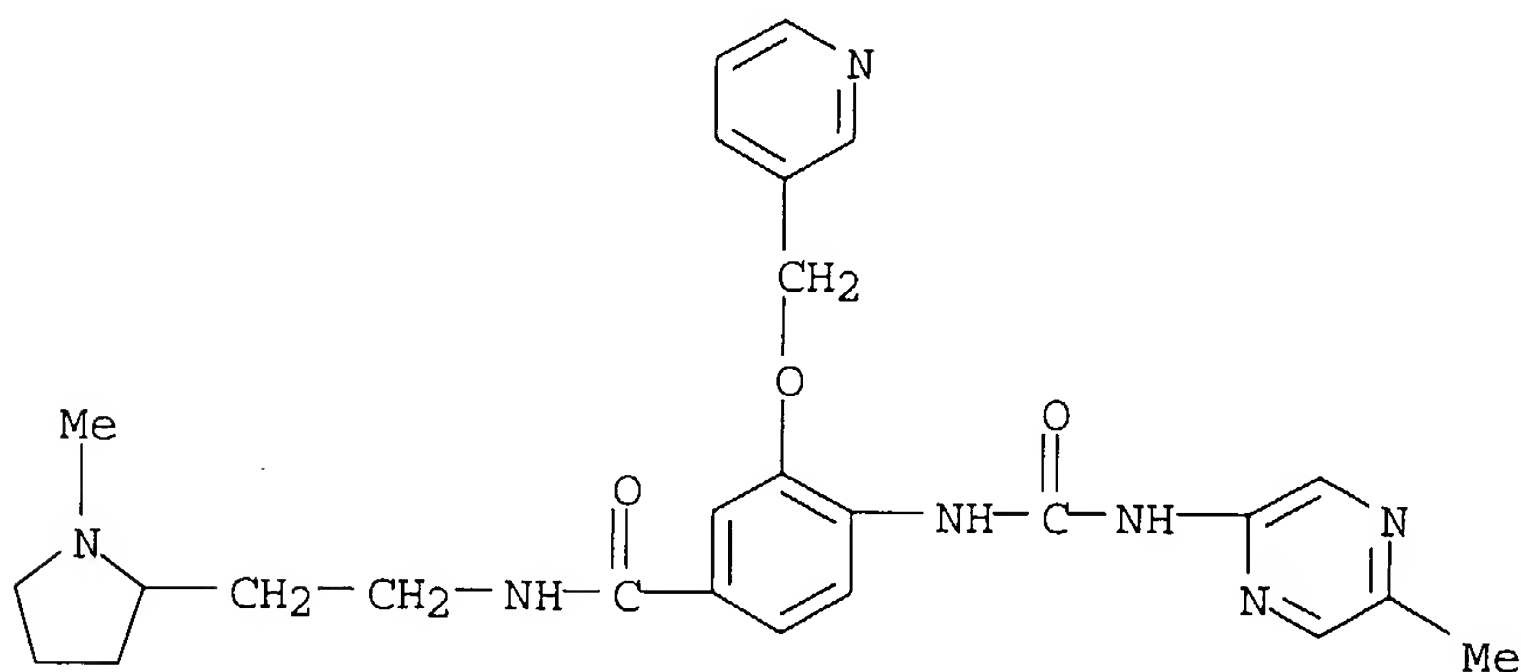
RN 457098-34-9 CAPLUS

CN Benzamide, 4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[2-(4-morpholinyl)ethyl]-3-(3-pyridinylmethoxy)-(9CI) (CA INDEX NAME)



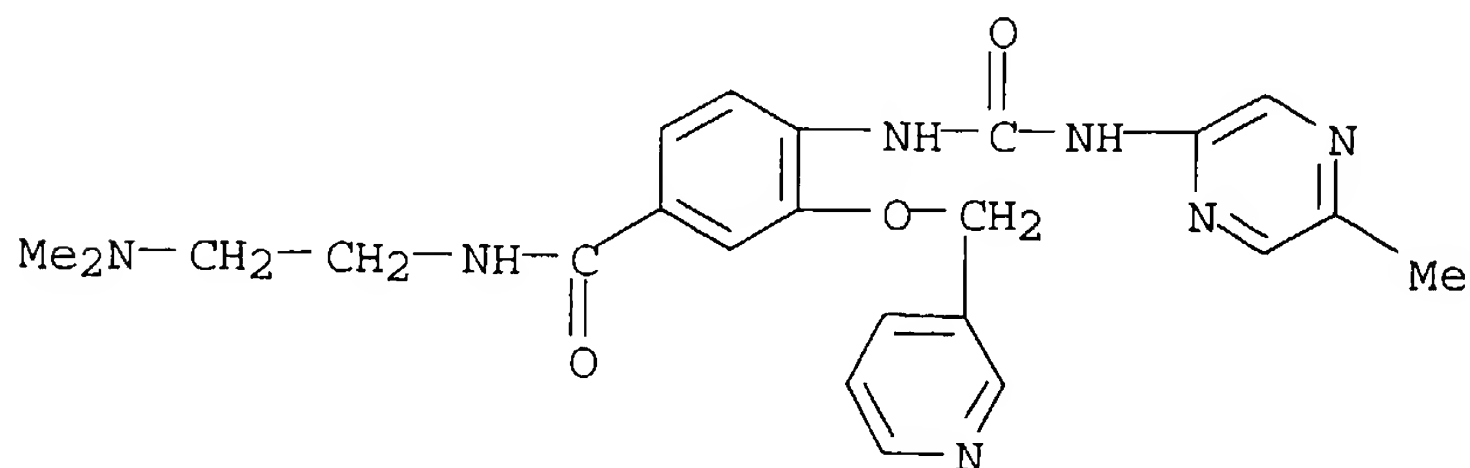
RN 457098-35-0 CAPLUS

CN Benzamide, 4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-(3-pyridinylmethoxy) - (9CI) (CA INDEX NAME)



RN 457098-36-1 CAPLUS

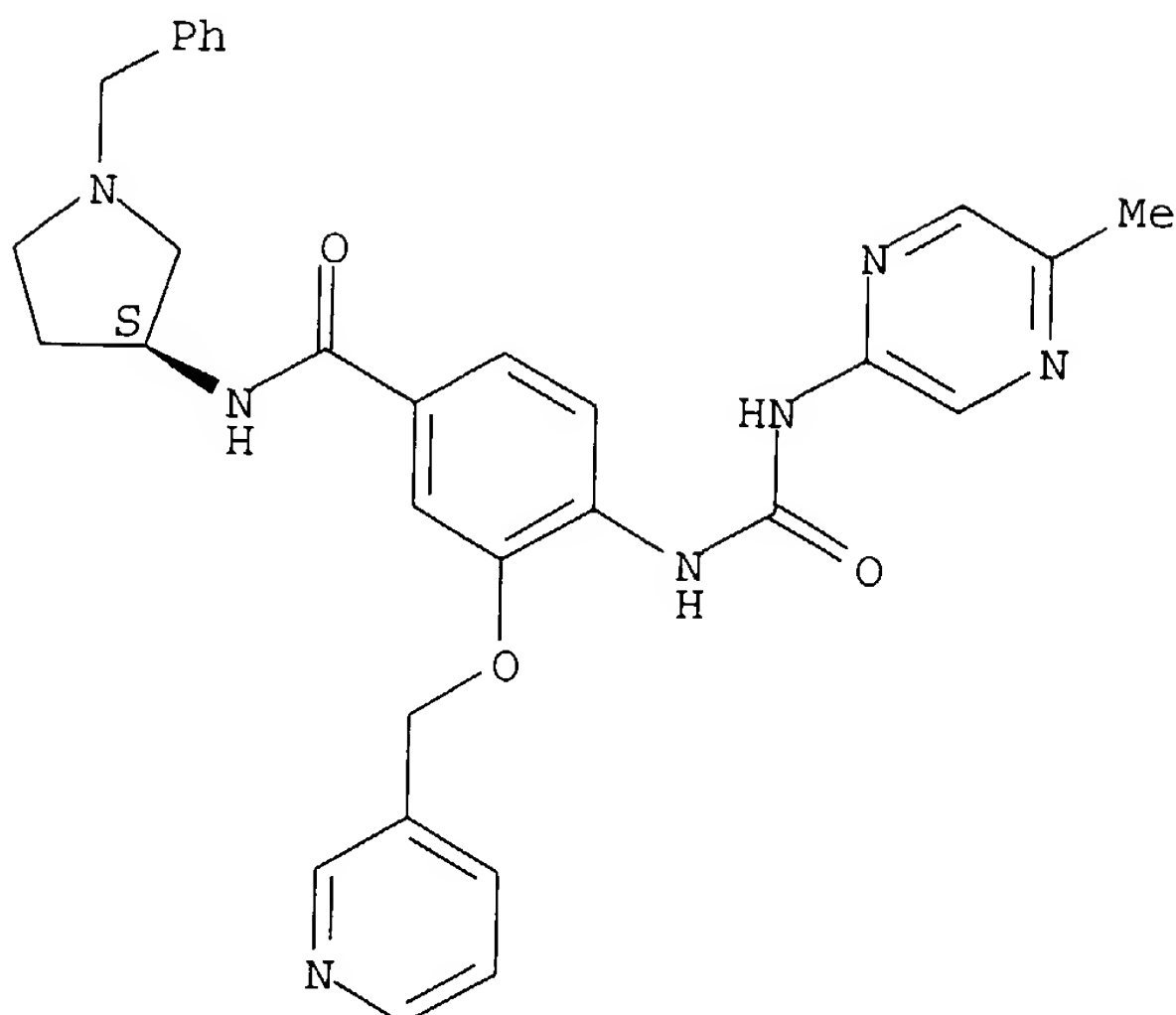
CN Benzamide, N-[2-(dimethylamino)ethyl]-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-3-(3-pyridinylmethoxy) - (9CI) (CA INDEX NAME)



RN 457098-37-2 CAPLUS

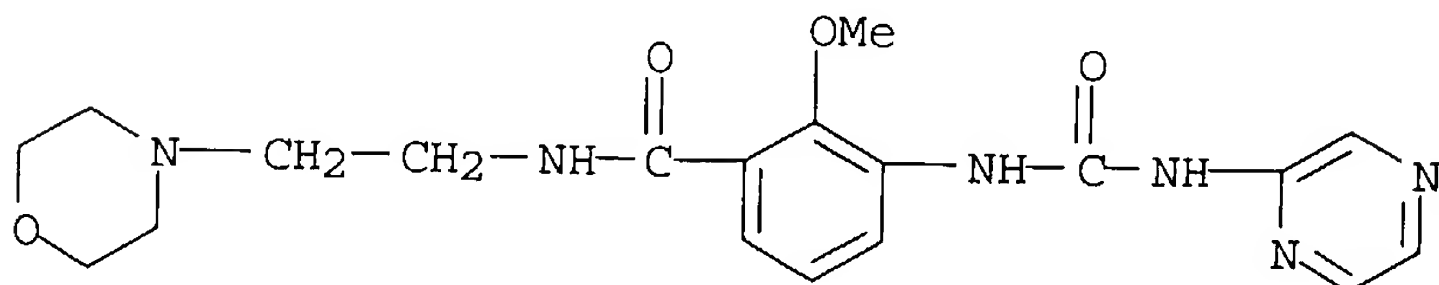
CN Benzamide, 4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]-3-(3-pyridinylmethoxy) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



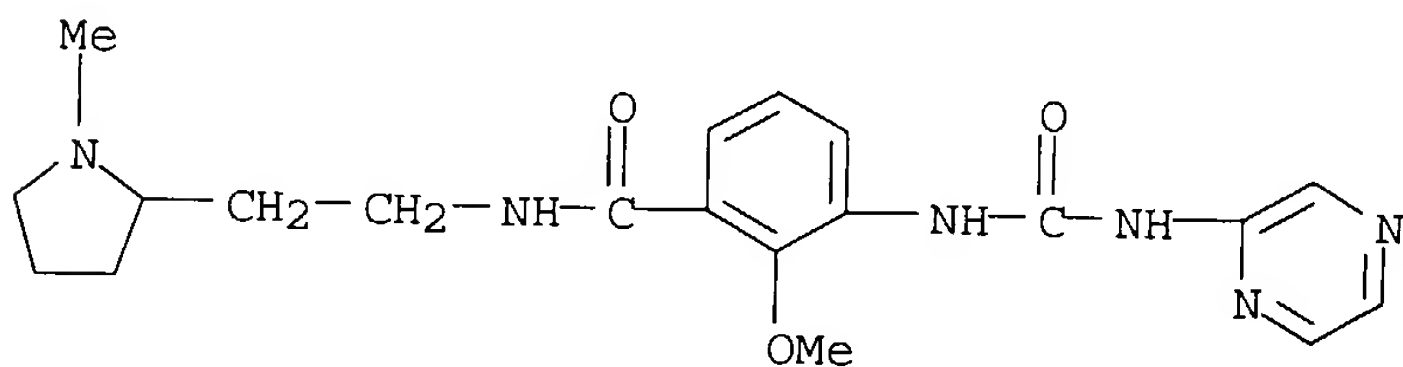
RN 457099-93-3 CAPLUS

CN Benzamide, 2-methoxy-N-[2-(4-morpholinyl)ethyl]-3-  
[[pyrazinylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 457099-94-4 CAPLUS

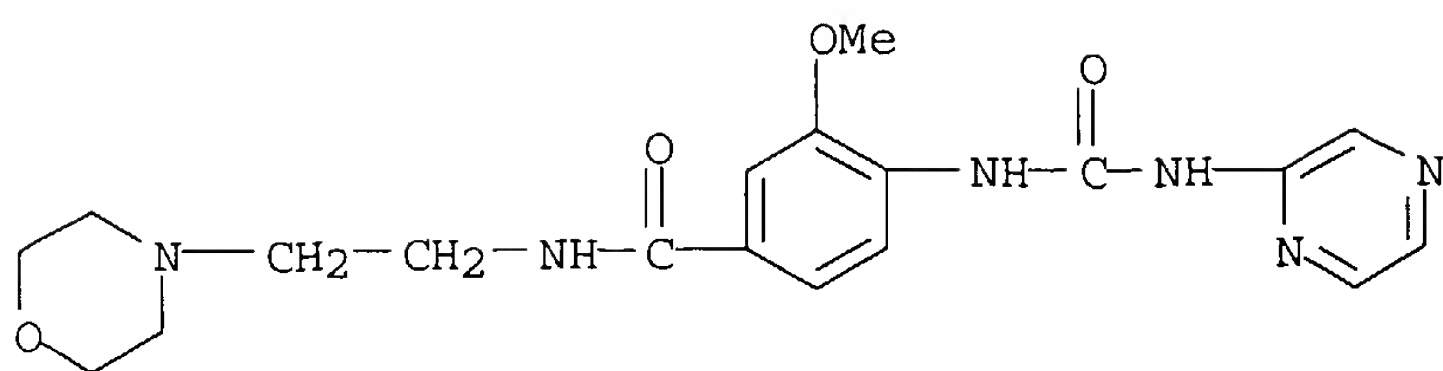
CN Benzamide, 2-methoxy-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-  
[[pyrazinylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



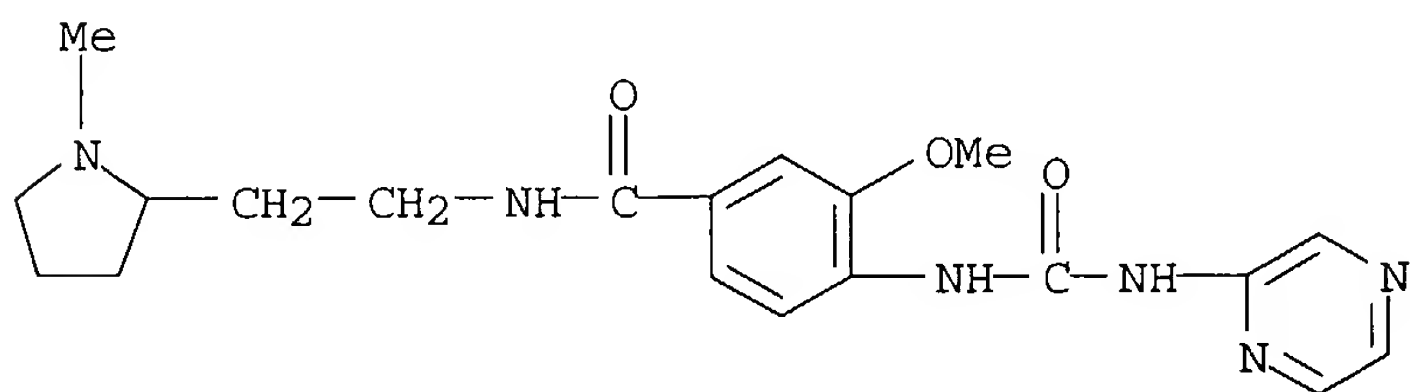
RN 457099-96-6 CAPLUS

CN Benzamide, 3-methoxy-N-[2-(4-morpholinyl)ethyl]-4-  
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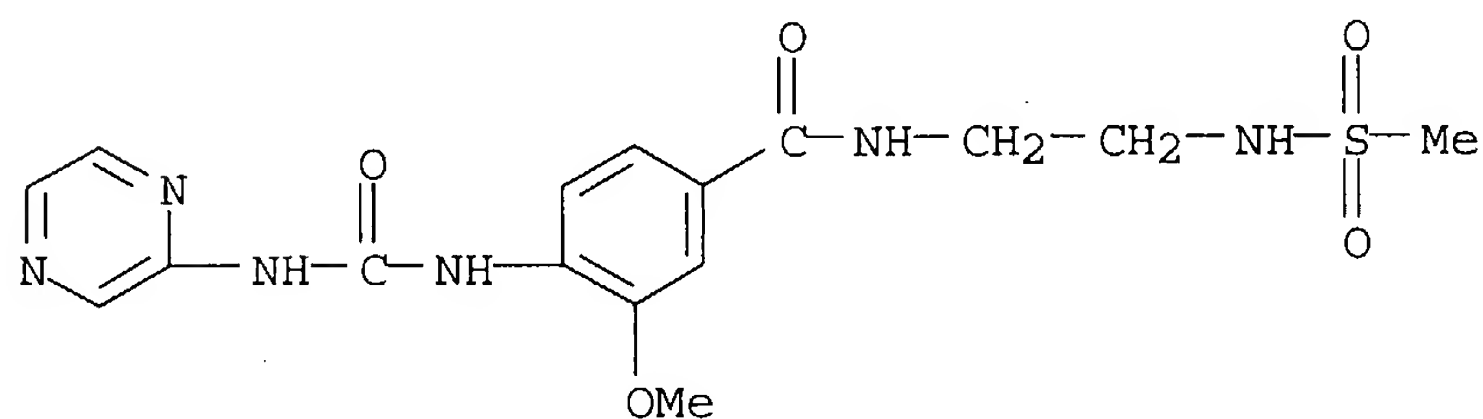




RN 457099-97-7 CAPLUS

CN Benzamide, 3-methoxy-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-4-  
[[ (pyrazinylamino)carbonyl]amino] - (9CI) (CA INDEX NAME)

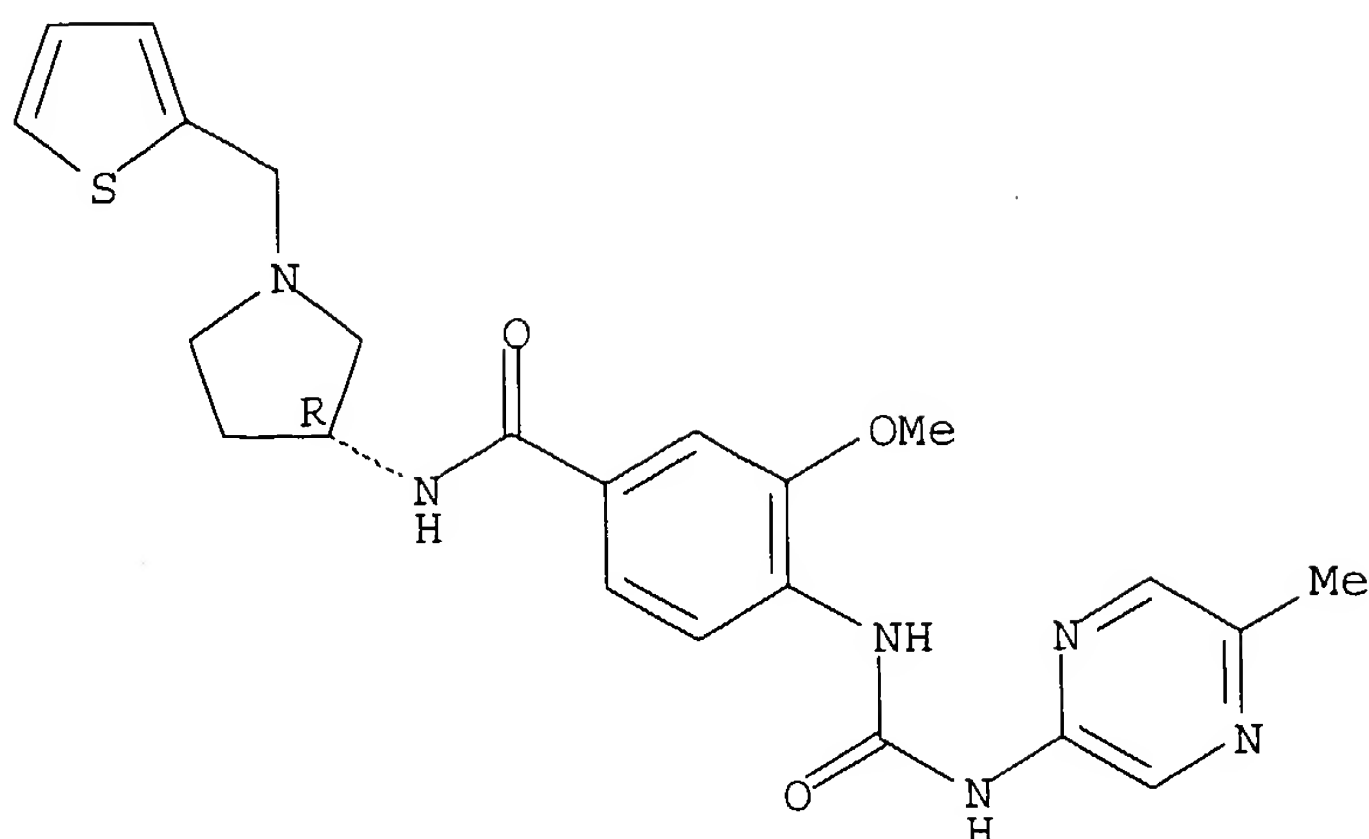
RN 457099-98-8 CAPLUS

CN Benzamide, 3-methoxy-N-[2-[(methylsulfonyl)amino]ethyl]-4-  
[[ (pyrazinylamino)carbonyl]amino] - (9CI) (CA INDEX NAME)

RN 458523-51-8 CAPLUS

CN Benzamide, 3-methoxy-4-[[[(5-methylpyrazinyl)amino]carbonyl]amino]-N-[(3R)-  
1-(2-thienylmethyl)-3-pyrrolidinyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Aryl- and heteroaryl substituted urea compds. (W'NHC(:Y')N(R13)Z'; 1) useful in the treatment of diseases and conditions related to DNA damage or lesions in DNA replication are disclosed. In 1, W' is a six-membered aromatic ring containing at least 2 nitrogen atoms (e.g. pyrazinyl, pyrimidinyl, pyridazinyl, 1,2,4-triazinyl, quinoxalinyl) and optionally substituted as defined in the claims, Z' is a five- or six membered aromatic or heteroarom. ring as defined in the claims, Y' is O or S. The first claim contains a much more general formula WX1C(:Y)X2Z (e.g. X1 = null, O, S, CH2, NR1; X2 = O, S, NR1) but emphasis is on 1. Methods of making the compds., and their use as therapeutic agents, for example, in treating cancer and other diseases characterized by defects in DNA replication, chromosome segregation, or cell division also are described. Although the methods of preparation are not claimed, about 200 example prepns. are included. N-(2-methoxy-5-methylphenyl)-N'-(2-pyrazinyl)urea and N-(4-chloro-2-methoxyphenyl)-N'-(2-pyrazinyl)urea enhanced the killing of various human cells by 5-fluorouracil from 2- to 10-fold; in HeLa cells, these same compds. enhanced killing by irradiation 2-3 fold.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.19	160.82

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE

FILE 'CAOLD' ENTERED AT 08:05:17 ON 14 MAY 2004  
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FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate

substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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COST IN U.S. DOLLARS
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FULL ESTIMATED COST
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CA SUBSCRIBER PRICE
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 19) (20040507/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

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US      6716820 06 APR 2004
DE      20315397 01 APR 2004
EP       1403358 31 MAR 2004
JP 2004107291 08 APR 2004
WO 2004029058 08 APR 2004
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Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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FULL SEARCH INITIATED 08:05:42 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 5532 TO ITERATE
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FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21  
 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L6 7 L5

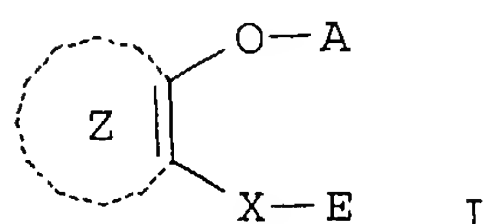
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L6 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:991345 CAPLUS  
 DN **140:42216**  
 TI Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases  
 IN Muto, Susumu; Itai, Akiko  
 PA Institute of Medicinal Molecular Design. Inc., Japan  
 SO PCT Int. Appl., 418 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103665	A1	20031218	WO 2003-JP7120	20030605
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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JP 2002-165148 A 20020606

OS MARPAT 140:42216  
GI



AB The title compds. I [wherein X = a connecting group; A = H or acetyl; E = (un)substituted aryl or heteroaryl; ring Z = (un)substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and solvates thereof are prepared for the treatment of allergic diseases, endometriosis, and/or hysteromyoma (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared. The compds. I exhibited inhibitory activities against IgE production, cell proliferation, and cell degranulation.

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:971881 CAPLUS

DN **140:16750**

TI Preparation of diarylureas as Chk-1 kinase inhibitors for the treatment of cancer

IN Boyle, Robert George; Imogai, Hassan Julien; Cherry, Michael

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

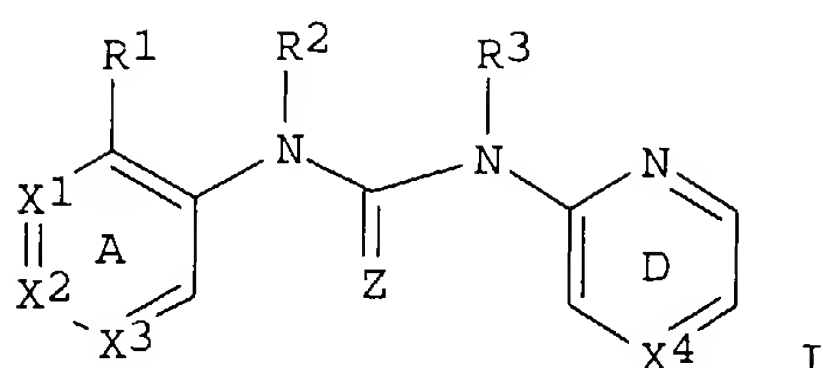
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2003101444	A1	20031211	WO 2003-US16677	20030528
	WO 2003101444	C1	20040226		
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				US 2002-384207PP	20020529
				US 2002-432796PP	20021212
	US 2004014765	A1	20040122	US 2003-446627	20030528
				US 2002-384207PP	20020529
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OS MARPAT 140:16750  
GI



AB Disclosed are novel diarylurea inhibitors of Chk-1 (shown as I; variables defined below; e.g. 1-[5-chloro-2-(3-dimethylaminopropoxy)phenyl]-3-pyrazin-2-ylurea) and methods of using the same for treatment of cancer. Although the methods of preparation are not claimed, .apprx.40 example prepsns. are included. For example, 1-[5-chloro-2-(2-dimethylaminoethoxy)phenyl]-3-pyrazin-2-ylurea was prepared starting from 2-amino-4-chlorophenol and N-(2-chloroethyl)dimethylamine hydrochloride to give [5-chloro-2-(2-dimethylaminoethoxy)phenyl]amine (72 %) followed by its reaction with pyrazine-2-carbonyl azide (prepared from pyrazine-2-carboxylic acid and diphenylphosphoryl azide (78 %)) with 83 % yield. Inhibitory activity towards Chk-1 kinase is tabulated for .apprx.30 examples of I, e.g. IC50 = 0.0025  $\mu$ M for 1-[2-(3-aminopropoxy)-5-chlorophenyl]-3-pyrazin-2-ylurea. The ability of .apprx.11 examples of I to enhance the DNA damaging ability of camptothecins, 5-fluorouracil or etoposid is tabulated; e.g. 2.7-fold enhancement for 78 nM 1-[5-chloro-2-(3-aminopropoxy)phenyl]-3-(5-methylpyrazin-2-yl)urea. For I: X1-X3 = CH or N, provided that X1-X3 are not all N; X4 is CH or N; Z is O, S, or N-CN; Ring A is (un)substituted at any substitutable C by R4; R1 is -T-NH2, -V-T-NH2, -T-NHRx, -V-T-NHRx; T is a C1-6 straight or branched alkylidene chain that is optionally interrupted by -O-, -S-, -N(R5)-, -S(O)-, -SO2-, -C(O)-, -OC(O)-, -N(R5)C(O)-, -C(O)N(R5)-, -SO2N(R5)-, or -N(R5)SO2-, wherein the alkylidene chain or a portion thereof is optionally part of a 3-6 membered ring system. V is -O-, -S-, -N(R5)-, -S(O)-, -SO2-, -C(O)-, -OC(O)-, -N(R5)C(O)-, -C(O)N(R5)-, -SO2N(R5)-, or -N(R5)SO2-; R2 and R3 = H, C1-6-alkyl (un)substituted with -N(R8)2, -C(O)R, -CO2R, or SO2R, or R2 and R3 taken together with their intervening atoms form an (un)substituted 5-6 membered ring; each R4 = halo, -OR, -SR, -CN, -NO2, -N(R5)2, -N(R5)C(O)R, -N(R5)CO2R, -N(R5)C(O)N(R5)2, -C(O)N(R5)2, -C(O)R5, -OC(O)N(R5)2, -CO2R, -SO2R, -S(O)R, -SO2N(R5)2, -N(R5)SO2R, or an (un)substituted C1-8 aliphatic, aryl, aralkyl, heterocyclyl, heterocyclealkyl, heteroaryl, or heteroaralkyl, or two ortho R4s, taken together with the ortho C atoms to which they are bonded, form an (un)substituted five or six membered Ph, pyridyl or heterocyclyl fused to Ring A. Each R5 = H, C1-6 aliphatic, -CO2R, -SO2R, or -C(O)R, or two R5 on the same N taken together with the N form a 5-8 membered heteroaryl or heterocycle ring having 1-4 heteroatoms = N, O, or S; each R8 = a C1-3-alkyl or, taken together with the N atom to which they are bonded, a 5-7 membered N containing heterocycle; Ring D is (un)substituted by C1-4 aliphatic or haloaliph., -OR7, -SR7, -C(O)R7, -CO2R7, -SO2R7, -CN, -C(O)N(R7)2, -N(R7)C(O)(C1-2-alkyl), or -N(R7)2 and is optionally fused to an (un)substituted Ph or (un)substituted cyclohexyl ring; each R7 = H or an (un)substituted C1-3 aliphatic or -N(R7)2 is a N-containing heterocyclyl; each R = H or an (un)substituted C1-6 aliphatic, aryl,

Patel

<5/14/2004>



aralkyl, heteroaryl, or heteroaralkylbutyl; and Rx is C1-C8 alkyl.  
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:695962 CAPLUS  
 DN 137:232680  
 TI Preparation of aryl and heteroaryl urea selective Chk1 inhibitors for use  
 as radiosensitizers and chemosensitizers for treating diseases and  
 conditions related to DNA damage or lesions in DNA replication  
 IN Keegan, Kathleen S.; Kesicki, Edward A.; Gaudino, John Joseph; Cook, Adam  
 Wade; Cowen, Scott Douglas; Burgess, Laurence Edward  
 PA Icos Corporation, USA  
 SO PCT Int. Appl., 236 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070494	A1	20020912	WO 2002-US6452	20020301
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2001-273124PP	20010302
	US 2003069284	A1	20030410	US 2002-87715	20020301
				US 2001-273124PP	20010302
	EP 1379510	A1	20040114	EP 2002-728396	20020301
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2001-273124PP	20010302
				WO 2002-US6452 W	20020301
	NO 2003003858	A	20031010	NO 2003-3858	20030901
				US 2001-273124PP	20010302
				WO 2002-US6452 W	20020301

OS MARPAT 137:232680  
 AB Aryl- and heteroaryl substituted urea compds. (W'NHC(:Y')N(R13)Z'; 1)  
 useful in the treatment of diseases and conditions related to DNA damage  
 or lesions in DNA replication are disclosed. In 1, W' is a six-membered  
 aromatic ring containing at least 2 nitrogen atoms (e.g. pyrazinyl,  
 pyrimidinyl,  
 pyridazinyl, 1,2,4-triazinyl, quinoxalinyl) and optionally substituted as  
 defined in the claims, Z' is a five- or six membered aromatic or heteroarom.  
 ring as defined in the claims, Y' is O or S. The first claim contains a  
 much more general formula WX1C(:Y)X2Z (e.g. X1 = null, O, S, CH2, NR1; X2  
 = O, S, NR1) but emphasis is on 1. Methods of making the compds., and  
 their use as therapeutic agents, for example, in treating cancer and other  
 diseases characterized by defects in DNA replication, chromosome  
 segregation, or cell division also are described. Although the methods of  
 preparation are not claimed, about 200 example preps. are included.  
 N-(2-methoxy-5-methylphenyl)-N'-(2-pyrazinyl)urea and N-(4-chloro-2-  
 methoxyphenyl)-N'-(2-pyrazinyl)urea enhanced the killing of various human

cells by 5-fluorouracil from 2- to 10-fold; in HeLa cells, these same compds. enhanced killing by irradiation 2-3 fold.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:457050 CAPLUS  
DN 133:79374  
TI Aromatic heterocyclic compounds as thrombin or factor Xa inhibitors  
IN Lam, Patrick Yuk Sun; Clark, Charles G.; Li, Hui Yin; Pinto, Donald J. P.  
PA Du Pont Pharmaceuticals Co., USA  
SO PCT Int. Appl., 121 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039108	A1	20000706	WO 1999-US30512	19991222
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140871	A1	20011010	US 1998-113627PP	19981223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			EP 1999-967485	19991222
US 6369227	B1	20020409	US 1998-113627PP	19981223
US 6403583	B1	20020611	WO 1999-US30512W	19991222
JP 2002537227	T2	20021105	US 1999-469830	19991222
US 2002115854	A1	20020822	US 1998-113627PP	19981223
US 6602871	B2	20030805	US 1999-469835	19991222
US 6500855	B1	20021231	US 1998-113627PP	19981223
US 2003004344	A1	20030102	JP 2000-591019	19991222
			US 1998-113627PP	19981223
			WO 1999-US30512W	19991222
			US 2001-7195	20011204
			US 1998-113627PP	19981223
			US 1999-469831 B1	19991222
			US 2002-33137	20020102
			US 1998-113627PP	19981223
			US 1999-469830 A3	19991222

PATENT FAMILY INFORMATION:

FAN 2000:456883

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038683	A1	20000706	WO 1999-US30737	19991221
W: AL, AU, BR, CA, CN, CR, CZ, DM, EE, HU, IL, IN, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TZ, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2320730	AA	20000706	US 1998-113627PP	19981223
			CA 1999-2320730	19991221
			US 1998-113627PP	19981223



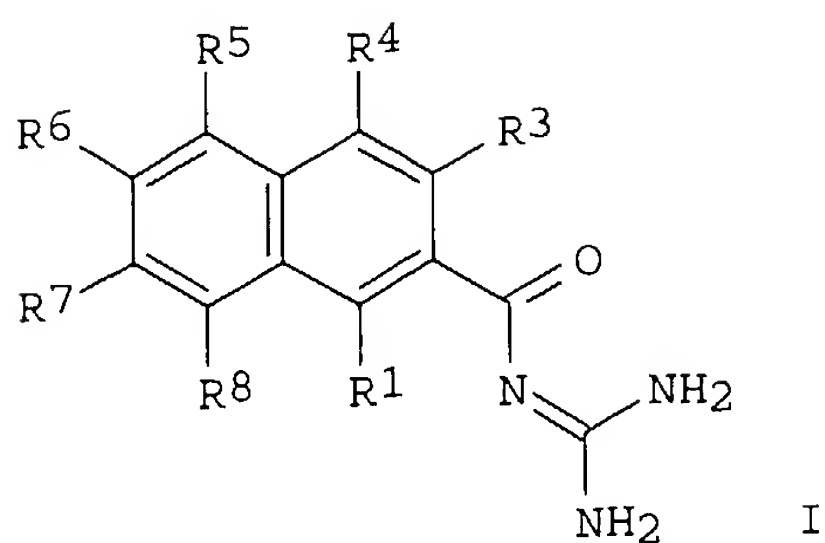
EP 1058549	A1	20001213	WO 1999-US30737W	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			EP 1999-967554	19991221
			US 1998-113627PP	19981223
US 6369227	B1	20020409	WO 1999-US30737W	19991221
			US 1999-469830	19991222
US 6403583	B1	20020611	US 1998-113627PP	19981223
			US 1999-469835	19991222
US 2002115854	A1	20020822	US 1998-113627PP	19981223
US 6602871	B2	20030805	US 2001-7195	20011204
			US 1998-113627PP	19981223
US 6500855	B1	20021231	US 1999-469831 B1	19991222
US 2003004344	A1	20030102	US 2002-33137	20020102
			US 1998-113627PP	19981223
			US 1999-469830 A3	19991222
FAN 2000:457044				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2000039102	A1	20000706	WO 1999-US30735	19991221
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
			US 1998-113627PP	19981223
EP 1140862	A1	20011010	EP 1999-965337	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1998-113627PP	19981223
US 6369227	B1	20020409	WO 1999-US30735W	19991221
			US 1999-469830	19991222
US 6403583	B1	20020611	US 1998-113627PP	19981223
			US 1999-469835	19991222
US 2002115854	A1	20020822	US 1998-113627PP	19981223
US 6602871	B2	20030805	US 2001-7195	20011204
			US 1998-113627PP	19981223
US 6500855	B1	20021231	US 1999-469831 B1	19991222
US 2003004344	A1	20030102	US 2002-33137	20020102
			US 1998-113627PP	19981223
			US 1999-469830 A3	19991222
OS MARPAT 133:79374				
AB This invention relates generally to inhibitors of trypsin-like serine protease enzymes, especially factor Xa or thrombin, pharmaceutical compns. containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.				
L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN				
AN 1997:783653 CAPLUS				
DN <b>128:48065</b>				
TI Preparation of 2-naphthoylguanidines as sodium proton exchanger inhibitors.				
IN Brendel, Joachim; Kleemann, Heinz-Werner; Englert, Heinrich Christian; Lang, Hans Jochen; Schwark, Jan-Robert; Weichert, Andreas; Lal, Bansi				

PA Hoechst A.-G., Germany  
 SO Eur. Pat. Appl., 24 pp.  
 CODEN: EPXXDW

DT Patent  
 LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 810206	A1	19971203	EP 1997-108013	19970516
	EP 810206	B1	20001227		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
	IN 182114	A	19990102	DE 1996-19621483A	19960529
				IN 1996-BO205	19960412
	DE 19621483	A1	19971204	DE 1996-19621483A	19960529
	PL 185754	B1	20030731	DE 1996-19621483	19960529
				PL 1997-318723	19970228
	US 6087304	A	20000711	DE 1996-19621483A	19960529
				US 1997-857631	19970516
	AT 198320	E	20010115	DE 1996-19621483A	19960529
				AT 1997-108013	19970516
	ES 2154002	T3	20010316	DE 1996-19621483A	19960529
				ES 1997-108013	19970516
	PT 810206	T	20010629	DE 1996-19621483A	19960529
				PT 1997-108013	19970516
	AU 9723645	A1	19971204	DE 1996-19621483A	19960529
	AU 710065	B2	19990916	AU 1997-23645	19970527
				DE 1996-19621483A	19960529
	CN 1167759	A	19971217	CN 1997-113187	19970527
				DE 1996-19621483A	19960529
	TW 416944	B	20010101	TW 1997-86107120	19970527
				DE 1996-19621483A	19960529
	HR 970292	B1	20010831	HR 1997-970292	19970527
				DE 1996-19621483A	19960529
	SK 282020	B6	20011008	SK 1997-670	19970527
				DE 1996-19621483A	19960529
	IL 120924	A1	20020310	IL 1997-120924	19970527
				DE 1996-19621483A	19960529
	CA 2206366	AA	19971129	CA 1997-2206366	19970528
				DE 1996-19621483A	19960529
	NO 9702433	A	19971201	NO 1997-2433	19970528
				DE 1996-19621483A	19960529
	ZA 9704665	A	19971201	ZA 1997-4665	19970528
				DE 1996-19621483A	19960529
	JP 10081664	A2	19980331	JP 1997-138227	19970528
				DE 1996-19621483A	19960529
	RU 2190600	C2	20021010	RU 1997-109003	19970528
				DE 1996-19621483A	19960529
	BR 9703338	A	19980818	BR 1997-3338	19970530
				DE 1996-19621483A	19960529
	GR 3035126	T3	20010330	GR 2000-402772	20001228
				DE 1996-19621483A	19960529
OS	MARPAT 128:48065				
GI					



AB Title compds. [I;  $\geq 1$  of R1, R3, R4, R5, R6, R7, R8 = XYaWZ, etc.; X = O, S, NR10, CR11R12; R10, R11, R12, R14, R20 = H, alkyl, perfluoroalkyl, cycloalkyl; Y = (heteroatom- or phenylene-interrupted) alkylene; a = 0, 1; W = CH2, SO2, SONH, O, NR14; Z = COR15, SO2R15, NR16R17; R15 = N:C(NH2)2, NR18R19, OR20, etc.; R16, R17, R18, R19 = H, alkyl, perfluoroalkyl; R16R17, R18R19 = (heteroatom-interrupted) alkylene; the rest of R1, R3, R4, R5, R6, R7, R8 = H, F, Cl, Br, iodo, cyano, NO2, CF3, Et, etc.; with provisos], were prepared as antiarrhythmics with cardioprotective activity (no data). Thus, Me 6-hydroxy-2-naphthoate in DMF was treated with NaOMe and then with diethylaminoethyl chloride to give Me 6-(2-diethylaminoethoxy)-2-naphthoate. This was saponified and the acid was condensed with guanidine using CDI to give 6-(2-diethylaminoethoxy)-2-naphthoylguanidine dihydrochloride.

L6 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:684401 CAPLUS

DN **127:346304**

TI Preparation of pyridinioarylcarbamoyleindoline derivatives as serotonin receptor antagonists.

IN Bromidge, Steven Mark

PA Smithkline Beecham Plc, UK; Bromidge, Steven Mark

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9737989	A1	19971016	WO 1997-EP1611	19970326
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			GB 1996-7219	A 19960404
	EP 891348	A1	19990120	EP 1997-915465	19970326
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL			GB 1996-7219	A 19960404
				WO 1997-EP1611	W 19970326
	JP 2001508399	T2	20010626	JP 1997-535805	19970326
				WO 1997-EP1611	W 19970326
	US 6028085	A	20000222	US 1998-155589	19980930
				GB 1996-7219	A 19960404
				WO 1997-EP1611	W 19970326

OS MARPAT 127:346304

AB (R1)nP1A[P2(R2)m]NR3COR4 [R1, R2 = H, (substituted) alkyl; R3 = H, alkyl; R4 = (substituted) N-bonded bicycloheterocyclyl, aminopyrazinyl, aminopyridinyl, aminophenyl, etc.; P1, P2 = Ph, heterocyclyl containing a

quaternary N atom; A = bond, chain of 1-5 atoms (substituted) phenylene, heterocyclylene; n, m = 0-2], were prepared as 5-HT2B/5-HT2C antagonists with increased solubility/activity (no data). Thus, 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-(pyridin-3-yl)phenylcarbamoyl]indoline in MeCN was treated with sodium tetraphenylboron and bromomethyl acetate followed by 4 h reflux to give a tetraphenylborate salt which was subjected to ion exchange to give 100% 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-[1-(acetyloxy)methylpyridinium-3-yl]phenylcarbamoyl]indoline chloride.

L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:596172 CAPLUS  
 DN **125:247613**  
 TI Preparation of indolines as 5-HT2B/2C receptor antagonists  
 IN Gaster, Laramie Mary; Wyman, Paul Adrian; Mulholland, Keith Raymond;  
 Davies, David Thomas; Duckworth, David Malcom; Forbes, Ian Thomson; Jones,  
 Graham Elgin  
 PA Smithkline Beecham Plc, UK  
 SO PCT Int. Appl., 79 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9623783	A1	19960808	WO 1996-EP368	19960126
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
				GB 1995-2052	A 19950202
				GB 1995-8327	A 19950425
				GB 1995-8967	A 19950503
				GB 1995-16845	A 19950817
				GB 1995-17542	A 19950826
				GB 1995-18574	A 19950912
	CA 2212061	AA	19960808	CA 1996-2212061	19960126
				GB 1995-2052	A 19950202
				GB 1995-8327	A 19950425
				GB 1995-8967	A 19950503
				GB 1995-16845	A 19950817
				GB 1995-17542	A 19950826
				GB 1995-18574	A 19950912
	AU 9646646	A1	19960821	AU 1996-46646	19960126
	AU 699727	B2	19981210		
				GB 1995-2052	A 19950202
				GB 1995-8327	A 19950425
				GB 1995-8967	A 19950503
				GB 1995-16845	A 19950817
				GB 1995-17542	A 19950826
				GB 1995-18574	A 19950912
				WO 1996-EP368	W 19960126
	BR 9607016	A	19971028	BR 1996-7016	19960126
				GB 1995-2052	A 19950202
				GB 1995-8327	A 19950425
				GB 1995-8967	A 19950503

EP 808312	A1	19971126	GB 1995-16845	A	19950817
EP 808312	B1	20001102	GB 1995-17542	A	19950826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI			GB 1995-18574	A	19950912
			WO 1996-EP368	W	19960126
			EP 1996-902259		19960126
CN 1179156	A	19980415	GB 1995-2052	A	19950202
JP 10513442	T2	19981222	GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
			WO 1996-EP368	W	19960126
			CN 1996-192777		19960126
			GB 1995-2052	A	19950202
			JP 1996-523247		19960126
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
			WO 1996-EP368	W	19960126
RO 115522	B3	20000330	RO 1997-1439		19960126
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
			WO 1996-EP368	W	19960126
AT 197300	E	20001115	AT 1996-902259		19960126
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
			WO 1996-EP368	W	19960126
ES 2151652	T3	20010101	ES 1996-902259		19960126
			GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
			GB 1995-8967	A	19950503
			GB 1995-16845	A	19950817
			GB 1995-17542	A	19950826
			GB 1995-18574	A	19950912
			PT 1996-902259		19960126
PT 808312	T	20010330	GB 1995-2052	A	19950202
			GB 1995-8327	A	19950425
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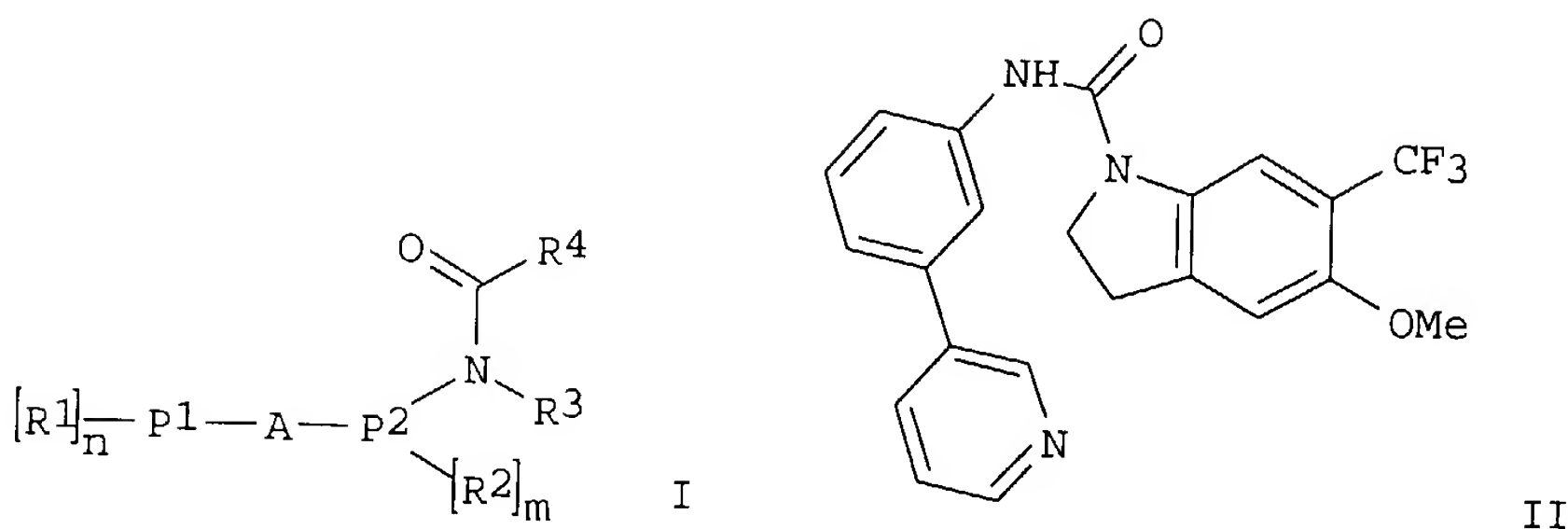
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OS CASREACT 125:247613; MARPAT 125:247613  
GI



AB The title compds. [I; P1, P2 = Ph, aromatic or partially saturated monocyclic  
or bicyclic heterocyclic ring; A = bond, (substituted) C1-5 alkylene, etc.;  
R1, R2 = H, (substituted) C1-6 alkyl, C2-6 alkenyl, etc.; R3 = H, C1-6  
alkyl; R4 = 1-indolinyl, etc.; n, m = 0-2], useful in the treatment of CNS  
disorders such as anxiety, were prepared. Thus, treatment of  
3-(3-pyridyl)aniline with 1,1-dicarbonyldiimidazole in CH<sub>2</sub>Cl<sub>2</sub> followed by  
reaction of the intermediate with 5-methoxy-6-trifluoromethylindoline in  
DMF afforded 85% the indoline II which showed pK<sub>i</sub> of 5.8-9.7 against  
[3H]-mesulergine binding to rat or human 5-HT<sub>2C</sub> clones expressed in 293  
cells in vitro.

=> log y

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
25.40	296.06

SINCE FILE	TOTAL
ENTRY	SESSION
-4.85	-5.54

STN INTERNATIONAL LOGOFF AT 08:07:52 ON 14 MAY 2004

Patel

<5/14/2004>